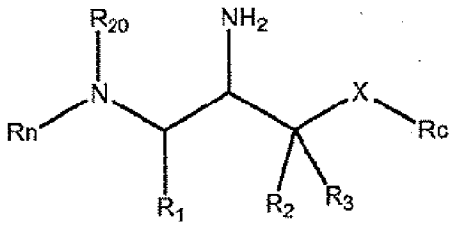
1. (currently amended) A compound of the formula:



or a pharmaceutically acceptable salt or ester thereof;

wherein X is ~~O, S, NR_{20}, or $NR_{20}NR_{20}$~~;

wherein each R_{20} is H, C_{1-6} alkyl or alkenyl, C_{1-6} haloalkyl or C_{4-7} cycloalkyl;

wherein R_1 is ~~$-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$ alkyl), or~~

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 09:28:45 ON 26 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 26 Feb 2009 VOL 150 ISS 9
FILE LAST UPDATED: 25 Feb 2009 (20090225/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=>
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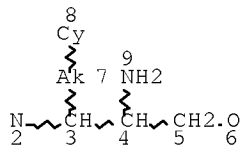
=> d stat que l65
L1 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE
L2 94 SEA FILE=REGISTRY SSS FUL L1
L65 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L2

=> d ibib abs hitstr l65 1-13

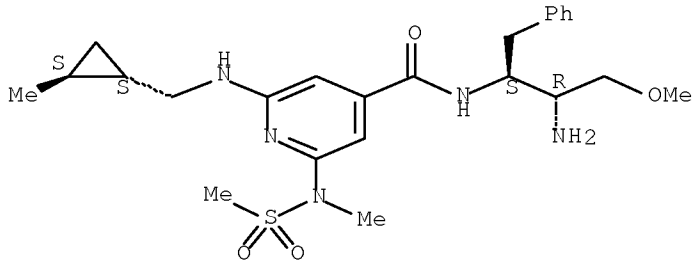
L65 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:664102 HCAPLUS Full-text
DOCUMENT NUMBER: 147:268319
TITLE: Discovery of Isonicotinamide Derived β-Secretase Inhibitors: In Vivo Reduction of β-Amyloid
AUTHOR(S): Stanton, Matthew G.; Stauffer, Shaun R.; Gregro, Alison R.; Steinbeiser, Melissa; Nantermet, Philippe; Sankaranarayanan, Sethu; Price, Eric A.; Wu, Guoxin; Crouthamel, Ming-Chih; Ellis, Joan; Lai, Ming-Tain; Espeseth, Amy S.; Shi, Xiao-Ping; Jin, Lixia; Colussi, Dennis; Pietrak, Beth; Huang, Qian; Xu, Min; Simon, Adam J.; Graham, Samuel L.; Vacca, Joseph P.; Selnick, Harold
CORPORATE SOURCE: Departments of Medicinal Chemistry, Alzheimer's Research, and Drug Metabolism, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(15), 3431-3433
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:268319

AB β-Secretase inhibition offers an exciting opportunity for therapeutic intervention in the progression of Alzheimer's disease. A series of isonicotinamides derived from traditional aspartyl protease transition state isostere inhibitors has been optimized to yield low nanomolar inhibitors with sufficient penetration across the blood-brain barrier to demonstrate β-amyloid lowering in a murine model.

IT 946420-59-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(isonicotinamide derivs. as β-secretase inhibitors and in vivo

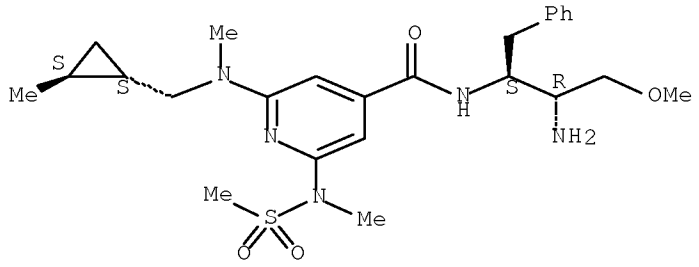
reduction of β-amyloid)
RN 946420-59-3 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 860312-26-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(isonicotinamide derivs. as β-secretase inhibitors and in vivo reduction of β-amyloid)
RN 860312-26-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

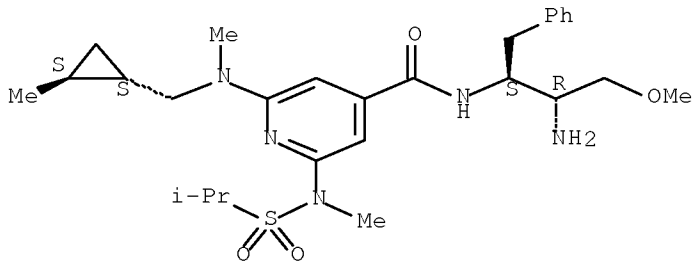
L65 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:228885 HCAPLUS Full-text
DOCUMENT NUMBER: 146:462107
TITLE: Discovery and SAR of isonicotinamide BACE-1 inhibitors that bind β-secretase in a N-terminal 10s-loop down conformation
AUTHOR(S): Stauffer, Shaun R.; Stanton, Matthew G.; Gregro, Alison R.; Steinbeiser, Melissa A.; Shaffer, Jennifer R.; Nantermet, Philippe G.; Barrow, James C.; Rittle,

Kenneth E.; Collusi, Dennis; Espeseth, Amy S.; Lai, Ming-Tain; Pietrak, Beth L.; Holloway, M. Katharine; McGaughey, Georgia B.; Munshi, Sanjeev K.; Hochman, Jerome H.; Simon, Adam J.; Selnick, Harold G.; Graham, Samuel L.; Vacca, Joseph P.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(6), 1788-1792
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:462107
AB A series of low-mol. weight 2,6-diamino-isonicotinamide BACE-1 inhibitors containing an amine transition-state isostere were synthesized and shown to be highly potent in both enzymic and cell-based assays. These inhibitors contain a trans-S,S-Me cyclopropane P3 which bind BACE-1 in a 10s-loop down conformation giving rise to highly potent compds. with favorable mol. weight and moderate to high susceptibility to P-glycoprotein (P-gp) efflux.

IT 860312-09-0P 860312-14-7P 860312-26-1P
935470-46-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, BACE-1 inhibitory and SAR of isonicotinamides using amination of dichloropyridinecarboxylate with sulfonylamides and secondary amines followed by amidation with primary amines as key steps)

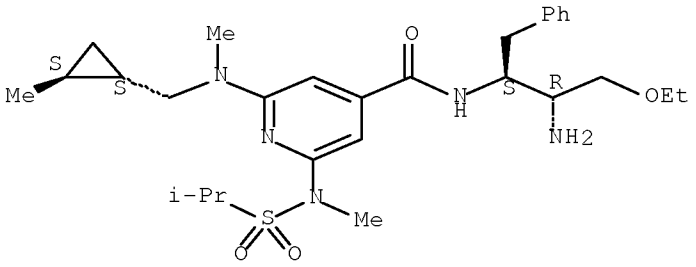
RN 860312-09-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



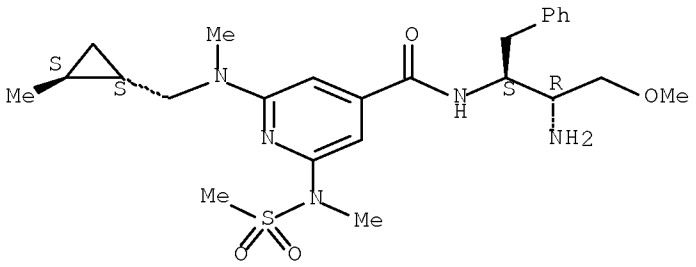
RN 860312-14-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-ethoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



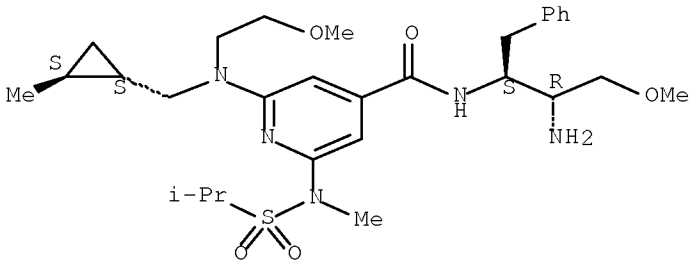
RN 860312-26-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 935470-46-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:638626 HCAPLUS Full-text

DOCUMENT NUMBER: 143:153293
TITLE: Preparation of phenylamides and pyridylamides as β-secretase inhibitors
INVENTOR(S): Barrow, James C.; Coburn, Craig A.; Nantermet, Philippe G.; Selnick, Harold G.; Stachel, Shawn J.; Stanton, Matthew G.; Stauffer, Shaun R.; Zhuang, Linghang; Davis, Jennifer R.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005065195	A2	20050721	WO 2004-US42173		20041215
WO 2005065195	A3	20060406			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004311749	A1	20050721	AU 2004-311749		20041215
CA 2548849	A1	20050721	CA 2004-2548849		20041215
EP 1697308	A2	20060906	EP 2004-814367		20041215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU					
CN 1898199	A	20070117	CN 2004-80038063		20041215
JP 2007517781	T	20070705	JP 2006-545405		20041215
IN 2006DN02139	A	20070629	IN 2006-DN2139		20060419
US 20070142634	A1	20070621	US 2006-582856		20060614
PRIORITY APPLN. INFO.:			US 2003-531423P	P	20031219
			WO 2004-US42173	W	20041215

OTHER SOURCE(S): CASREACT 143:153293; MARPAT 143:153293
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = CH or N; Q1 = OH or NH2; Q2 and Q3 independently = H or halo; Ra = H, cycloalkyl, (un)substituted alkyl; Rb = H, (un)substituted alkyl, cycloalkyl, etc.; m = 1-2; R1 = (un)substituted aryl, heteroaryl, alkyl, etc.; R2 = (R4-SO2)N(R5); R3 = R6R7CHNHCO; R8R9NCO; R10R11N, etc.; R4 = (un)substituted alkyl, cycloalkyl, heteroaryl, etc.; R5 = H, (un)substituted alkyl, aryl, etc., or R4 and R5 together form sulfurheterocycle containing optionally one more nitrogen atom; R6 = alkyl or perfluoroalkyl; R7 = (un)substituted aryl or pyridyl; R8 and R9 independently = H, (un)substituted

alkyl, cycloalkyl, or R8 and R9 together with the nitrogen atom to which they are attached form (un)substituted heterocycle; R10 = (un)substituted alkyl, cycloalkyl, -(CH2)x-Ph, etc.; x = 1-4; R11 = H, (un)substituted alkyl, cycloalkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as β-secretase inhibitors. Thus, e.g., II was prepared by amidation of 2-{[(2-methylcyclopropyl)methyl]amino}-6-[methyl(methylsulfonyl)amino]isonicotinic acid (preparation given) with (2S,3S)-3-azido-1-phenylheptan-2-amine (preparation given) and subsequent reduction The activity of I was evaluated in a homogeneous end point fluorescence resonance energy transfer (FRET) assay and it was revealed that compds. of the invention generally had an inhibitory capability towards β-secretase enzyme with an IC50 value from about 1 nM to 100 μM. I as β-secretase inhibitors should prove useful in the treatment of Alzheimer's disease. Pharmaceutical compns. comprising I are disclosed.

IT 860312-31-8P

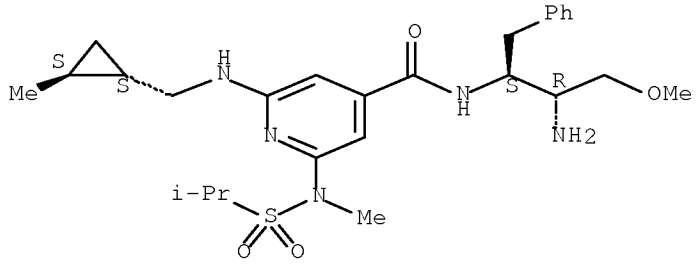
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of phenylamides and pyridylamides as β-secretase inhibitors)

RN 860312-31-8 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 860312-03-4P 860312-08-9P 860312-09-0P
860312-12-5P 860312-14-7P 860312-26-1P
860312-27-2P 860312-28-3P 860312-29-4P
860312-30-7P 860312-38-5P 860312-39-6P
860312-40-9P 860312-41-0P 860312-42-1P
860312-43-2P 860314-79-0P 860314-81-4P
860314-83-6P 860314-84-7P 860314-85-8P
860314-86-9P 860314-92-7P

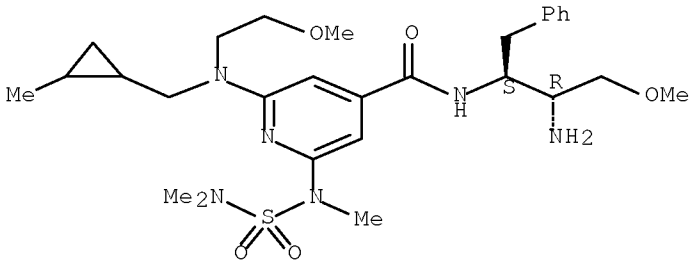
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylamides and pyridylamides as β-secretase inhibitors)

RN 860312-03-4 HCAPLUS

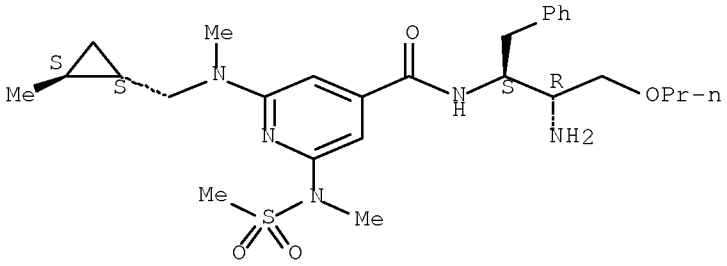
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[(dimethylamino)sulfonyl]methylamino]-6-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



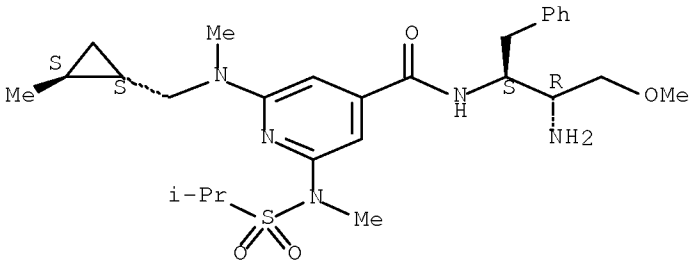
RN 860312-08-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-propoxypropyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



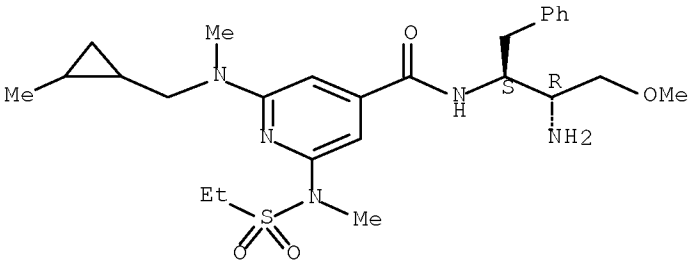
RN 860312-09-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



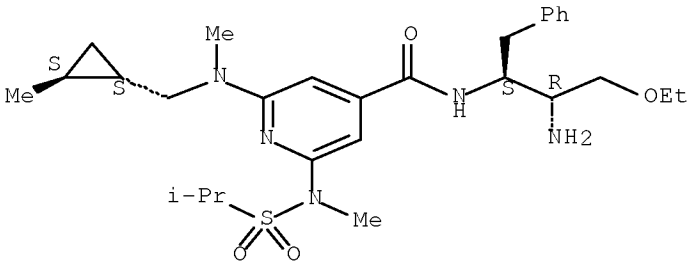
RN 860312-12-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



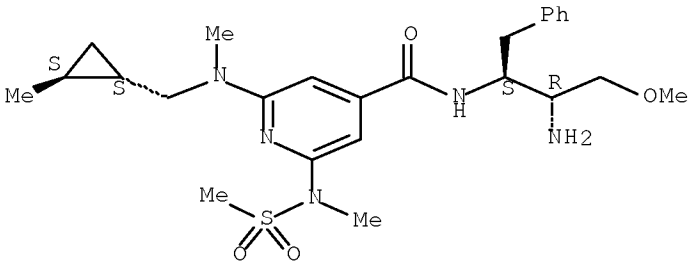
RN 860312-14-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-ethoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860312-26-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

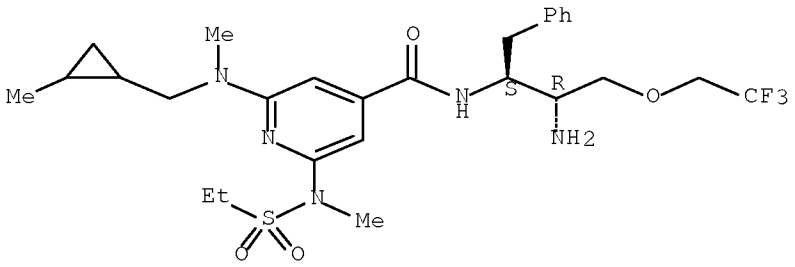
Absolute stereochemistry.



RN 860312-27-2 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-(2,2,2-trifluoroethoxy)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-

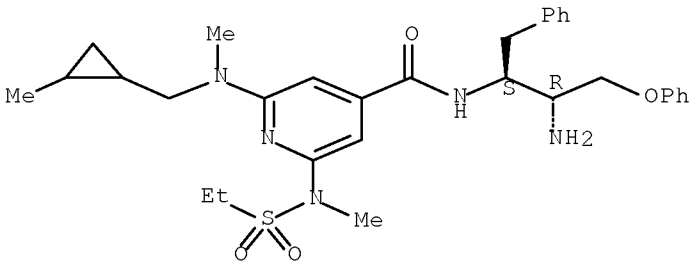
methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



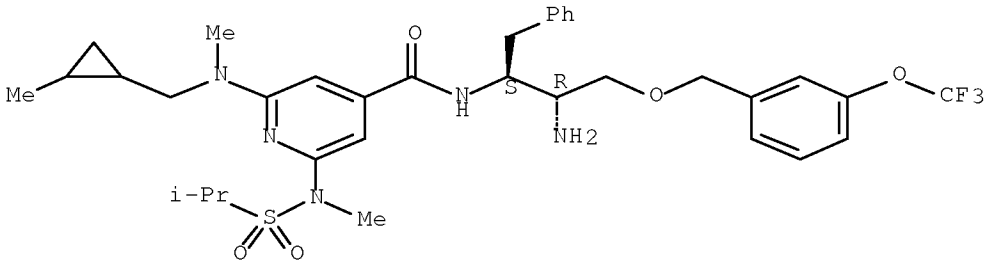
RN 860312-28-3 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-phenoxy-1-(phenylmethyl)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860312-29-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-[[3-(trifluoromethoxy)phenyl]methoxy]propyl]-2-[methyl[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

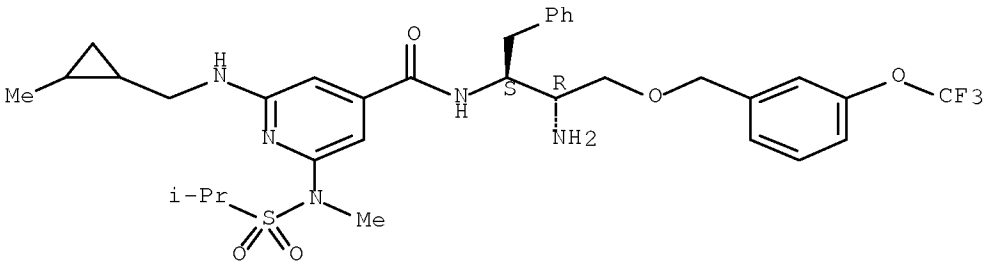
Absolute stereochemistry.



RN 860312-30-7 HCAPLUS

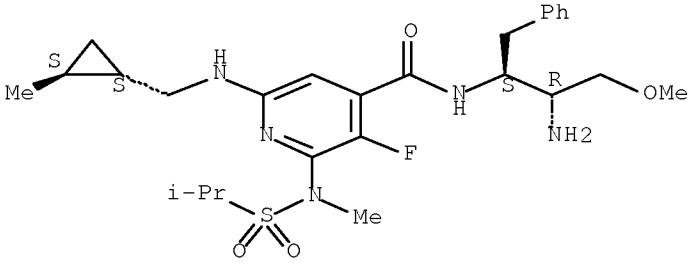
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-[[3-(trifluoromethoxy)phenyl]methoxy]propyl]-2-[[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860312-38-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

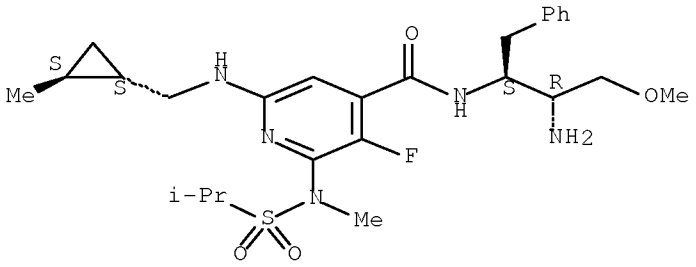


RN 860312-39-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

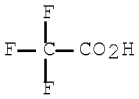
CRN 860312-38-5
CMF C26 H38 F N5 O4 S

Absolute stereochemistry.



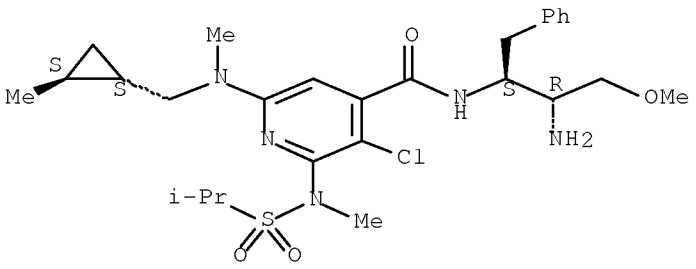
CM 2

CRN 76-05-1
CMF C2 H F3 O2



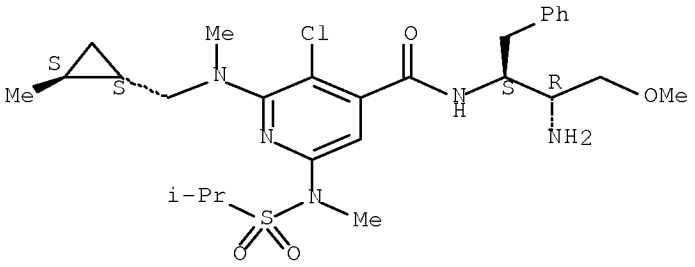
RN 860312-40-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-6-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]-
(CA INDEX NAME)

Absolute stereochemistry.



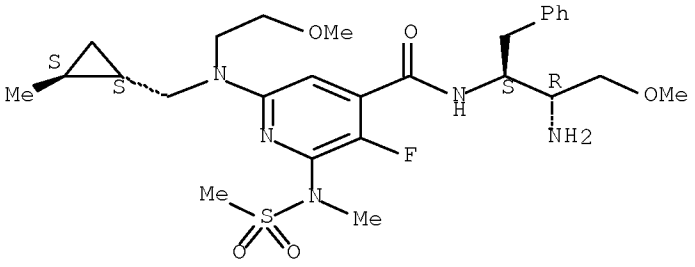
RN 860312-41-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]-
(CA INDEX NAME)

Absolute stereochemistry.



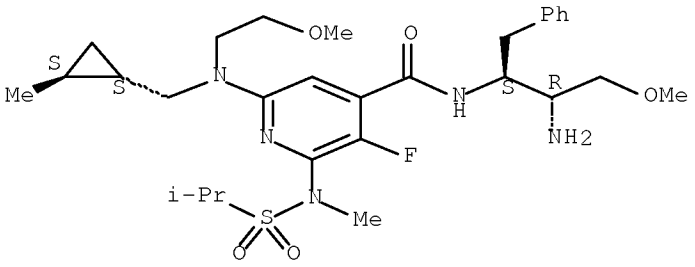
RN 860312-42-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[(2-methoxyethyl)[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



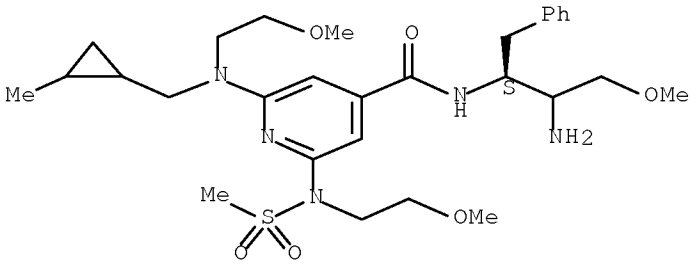
RN 860312-43-2 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[(2-methoxyethyl)[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



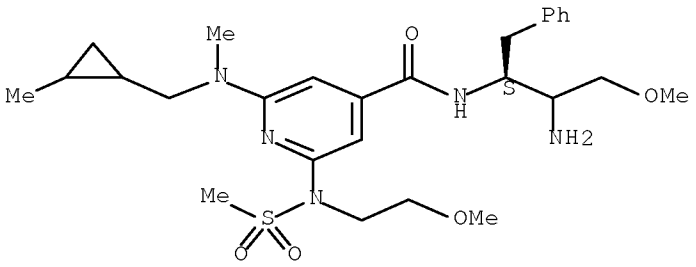
RN 860314-79-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



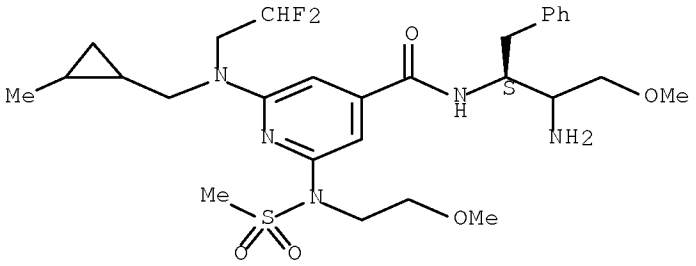
RN 860314-81-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)(methylsulfonyl)amino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860314-83-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2,2-difluoroethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

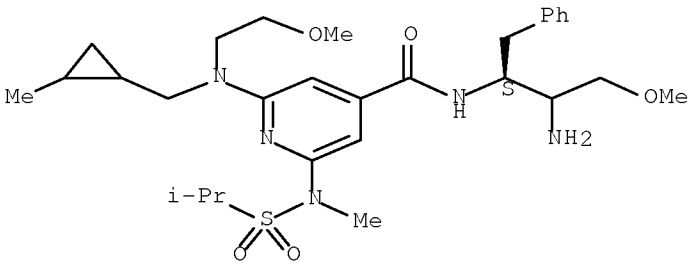
Absolute stereochemistry.



RN 860314-84-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-

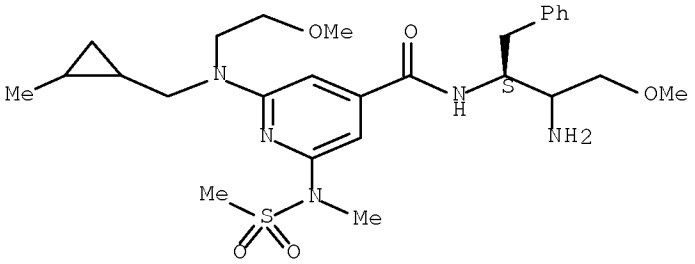
methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



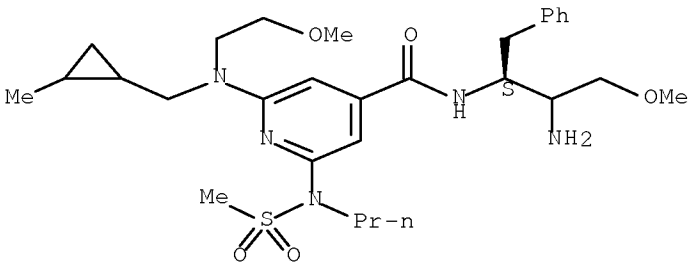
RN 860314-85-8 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860314-86-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(methylsulfonyl)propylamino]- (CA INDEX NAME)

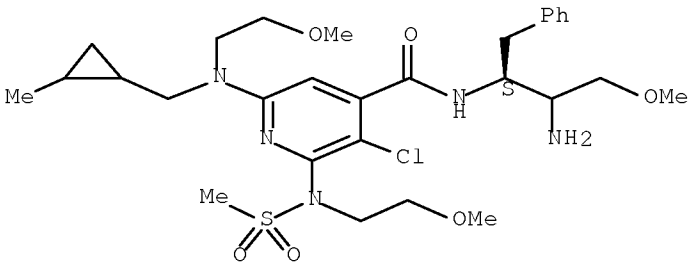
Absolute stereochemistry.



RN 860314-92-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-

chloro-6-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-2-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:368872 HCAPLUS Full-text
DOCUMENT NUMBER: 140:386046
TITLE: Substituted peptides useful in the treatment of Alzheimer's disease, and preparation thereof
INVENTOR(S): Beck, James T.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037179	A2	20040506	WO 2003-US33312	20031021
WO 2004037179	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003286530	A1	20040513	AU 2003-286530	20031021
US 20060148803	A1	20060706	US 2005-532285	20051122

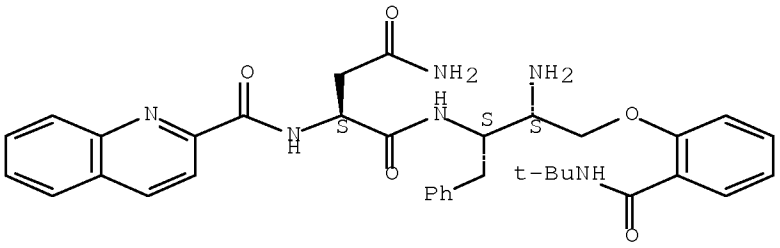
PRIORITY APPLN. INFO.: US 2002-420062P P 20021021
WO 2003-US33312 W 20031021

OTHER SOURCE(S): MARPAT 140:386046

AB Disclosed are methods for treating Alzheimer's disease, and other diseases, and/or inhibiting β-secretase enzyme, and/or inhibiting deposition of Aβ peptide in a mammal, by use of substituted peptide compds. (Markush included). Preparation of the substituted peptides is also described.

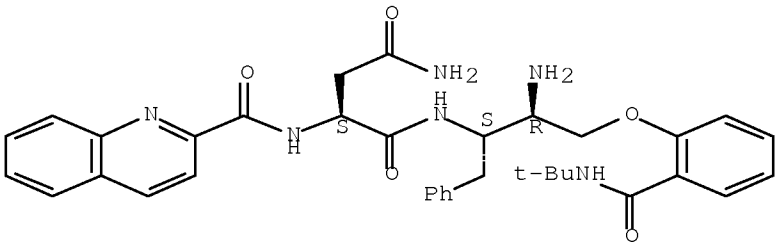
IT 162240-00-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide derivs. for treatment of Alzheimer's disease, and preparation)
RN 162240-00-8 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



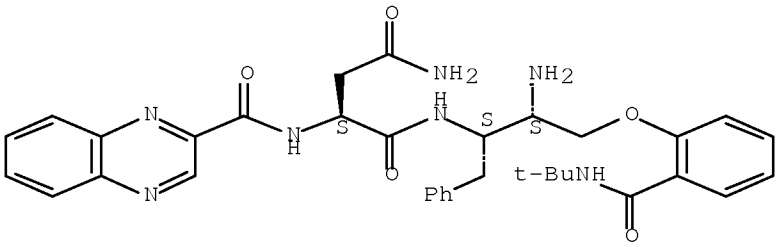
IT 162128-16-7 162128-18-9 162128-20-3
162128-22-5 162128-24-7 162128-26-9
162128-28-1 162128-31-6 162128-34-9
684212-03-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide derivs. for treatment of Alzheimer's disease, and preparation)
RN 162128-16-7 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



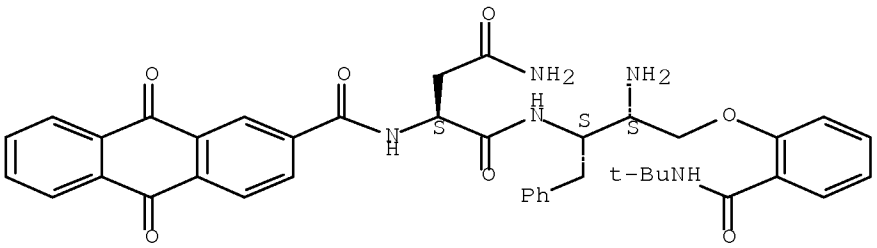
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



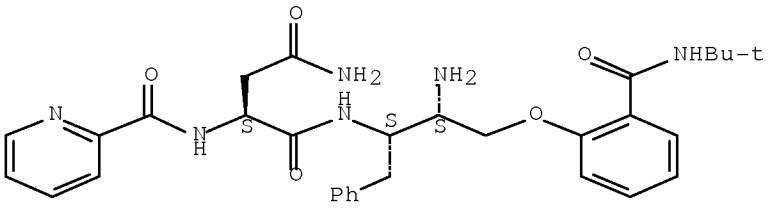
RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



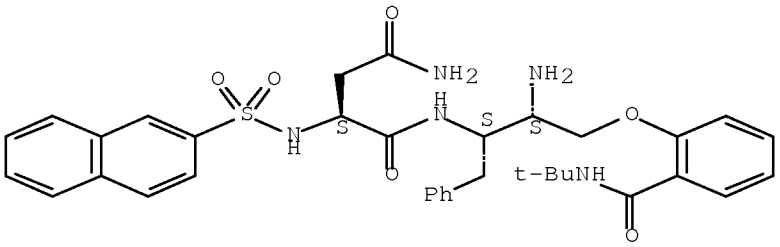
RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



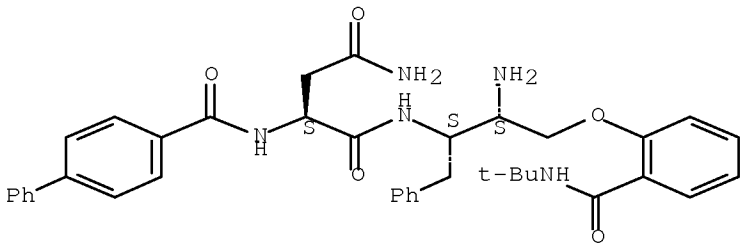
RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



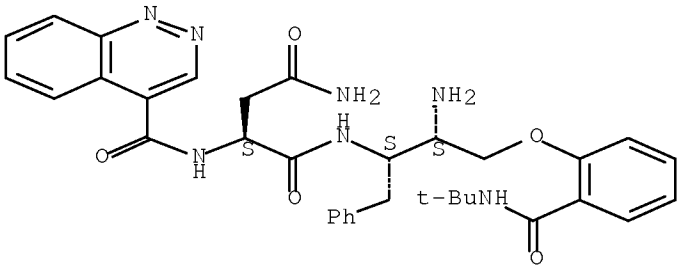
RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



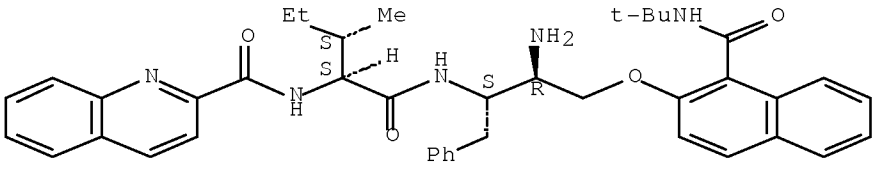
RN 162128-28-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(4-cinnolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



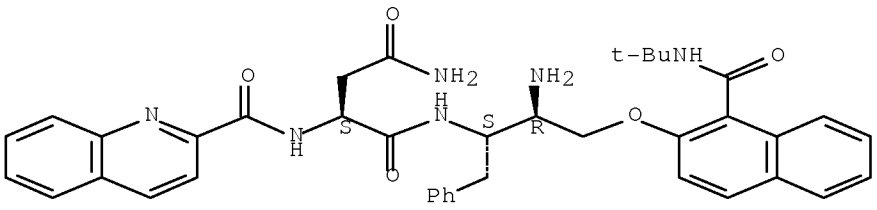
RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



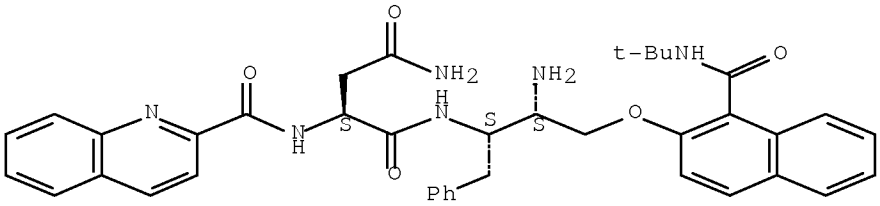
RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



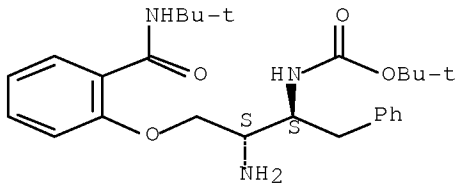
RN 684212-03-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 162128-39-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(peptide derivs. for treatment of Alzheimer's disease, and preparation)
RN 162128-39-4 HCAPLUS
CN Carbamic acid, [(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:252474 HCAPLUS Full-text
DOCUMENT NUMBER: 140:270632
TITLE: Preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide
INVENTOR(S): Beck, James P.; Drowns, Matthew; Warpehoski, Martha A.
PATENT ASSIGNEE(S): Pharmacia & Upjohn, USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004024675	A1	20040325	WO 2003-US28388		20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2498269	A1	20040325	CA 2003-2498269		20030910
AU 2003273310	A1	20040430	AU 2003-273310		20030910
EP 1537072	A1	20050608	EP 2003-755809		20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003014180	A	20050809	BR 2003-14180		20030910
JP 2005538177	T	20051215	JP 2004-536446		20030910
MX 2005002705	A	20050908	MX 2005-2705		20050310
US 20060194966	A1	20060831	US 2006-527294		20060222
PRIORITY APPLN. INFO.:			US 2002-409565P	P	20020910
			WO 2003-US28388	W	20030910

OTHER SOURCE(S): MARPAT 140:270632
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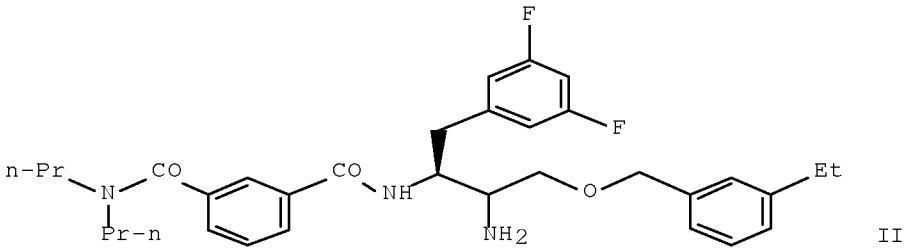


II

AB Disclosed are RnR20NCH(R1)CH(NH2)C(R2)(R3)-X-Rc (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed, a general method is disclosed and no example prepns. are included. For I: X is O, S, NR20, or NR20NR20; R20 is H, C1-6 alkyl or alkenyl, C1-6 haloalkyl or C4-7 cycloalkyl; R1 is -(CH2)1-2-S(O)0-2-(C1-C6 alkyl), C1-C10 alkyl, etc.;. Rc is H, -(CR245R250)0-4-aryl, -(CR245R250)0-4-heteroaryl, etc.; Rn is R'100, -SO2R'100, -(CRR')1-6R'100, -C(O)(CRR')0-6R100, etc.; R2, R3 = H, (un)substituted C1-C6 alkyl or R2, R3 and the C to which they are attached form a carbocycle of 3-7 C atoms, wherein one C atom is optionally replaced by a -O-, -S-, -SO2-, or -NRN-2; addnl. details are given in the claims.

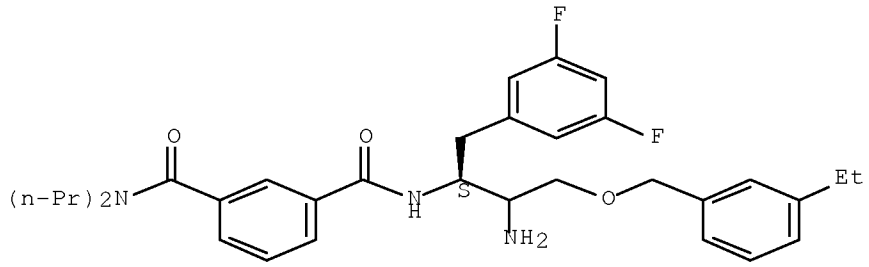
IT 674809-33-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropylisophthalamide 674809-34-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-35-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-bromo-N,N-dipropylisophthalamide 674809-37-1P, N'-[(S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-cyano-N,N-dipropylisophthalamide 674809-39-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-40-6P, N'-[(S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide 674809-41-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-ethynyl-N,N-dipropylisophthalamide 674809-43-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-ethyl-N,N-dipropylisophthalamide 674809-45-1P, N'-[(S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropylbenzene-1,3,5-tricarboxamide 674809-47-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-[(dimethylamino)methyl]-N,N-dipropylisophthalamide 674809-48-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-50-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-51-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[3-(trifluoromethyl)benzyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-52-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[3-(trifluoromethyl)benzyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-54-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-isopropylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-56-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-isopropylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide

674809-58-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-59-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-60-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-61-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-63-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-64-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-65-5P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-isopropylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-67-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-isopropylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-69-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-71-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-72-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-74-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-75-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N,N-dipropylpyridine-2,4-dicarboxamide 674809-77-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N,N-dipropylpyridine-2,4-dicarboxamide 674809-79-1P, N-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N',N'-dipropylpyridine-2,4-dicarboxamide 674809-81-5P, N-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N',N'-dipropylpyridine-2,4-dicarboxamide 674809-82-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-ethyl-5-(1,3-oxazol-2-yl)-N-propylisophthalamide 674809-84-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-ethyl-5-methyl-N-propylisophthalamide 674809-85-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-butyl-N-methyl-5-(1,3-oxazol-2-yl)isophthalamide 674809-87-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-butyl-N,5-dimethylisophthalamide 674809-88-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(6-ethylpyridin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-90-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(6-ethylpyridin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-91-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyridin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-93-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyridin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide

674809-95-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyrimidin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-96-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyrimidin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-98-4P, N'-[(1S)-2-Amino-3-butoxy-1-(3,5-difluorobenzyl)propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-99-5P, N'-[(1S)-2-Amino-3-butoxy-1-(3,5-difluorobenzyl)propyl]-5-methyl-N,N-dipropylisophthalamide 674810-01-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-(3-methylbutoxy)propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-03-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-(3-methylbutoxy)propyl]-5-methyl-N,N-dipropylisophthalamide 674810-04-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-propoxypropyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-05-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-propoxypropyl]-5-methyl-N,N-dipropylisophthalamide 674810-07-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-isobutoxypropyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-08-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-isobutoxypropyl]-5-methyl-N,N-dipropylisophthalamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide)

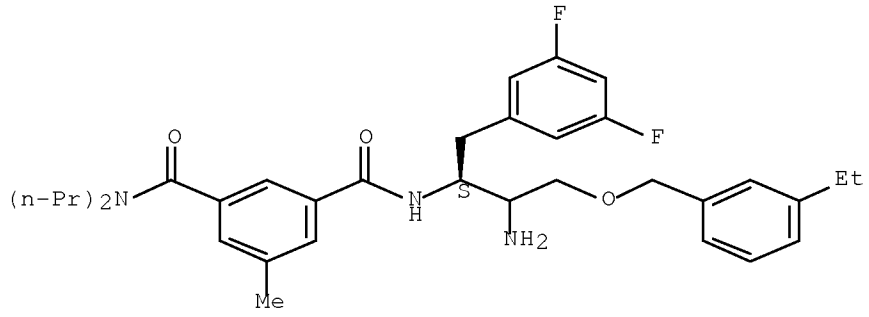
RN 674809-33-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



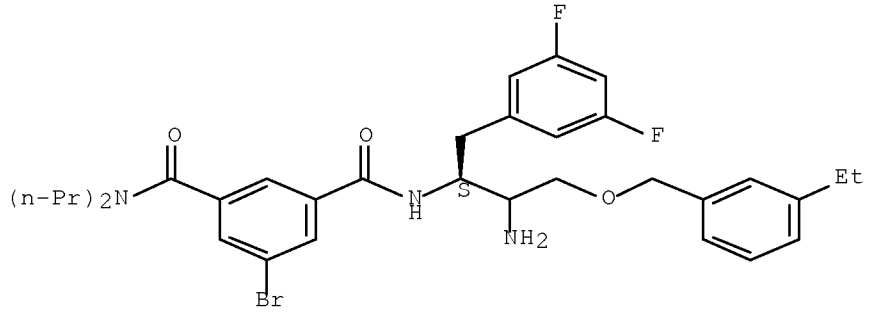
RN 674809-34-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



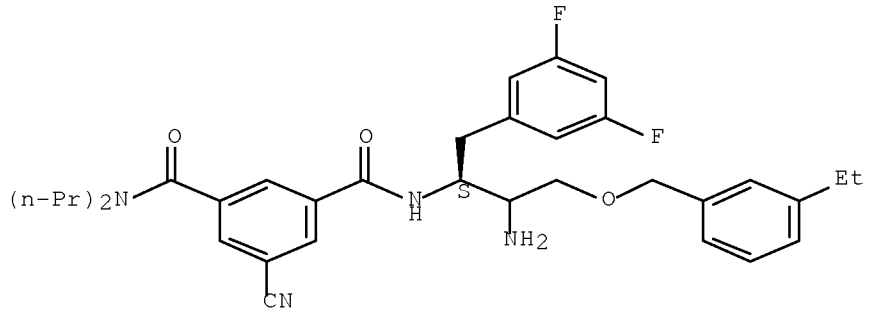
RN 674809-35-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-bromo-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



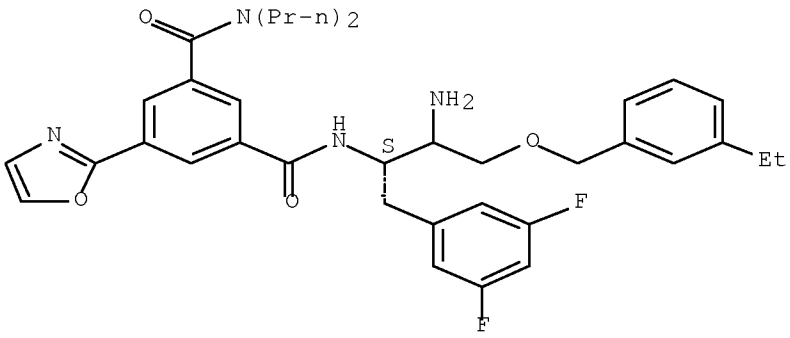
RN 674809-37-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-cyano-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



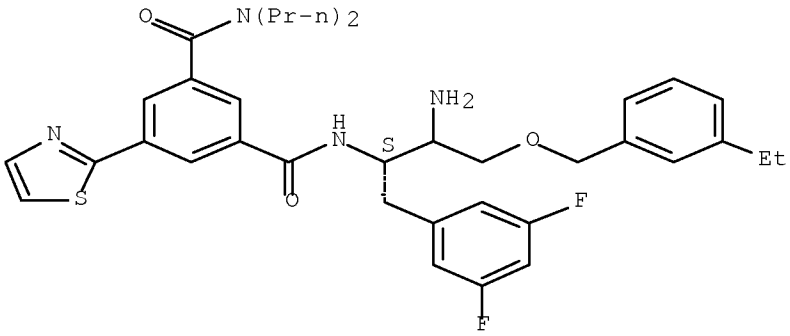
RN 674809-39-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



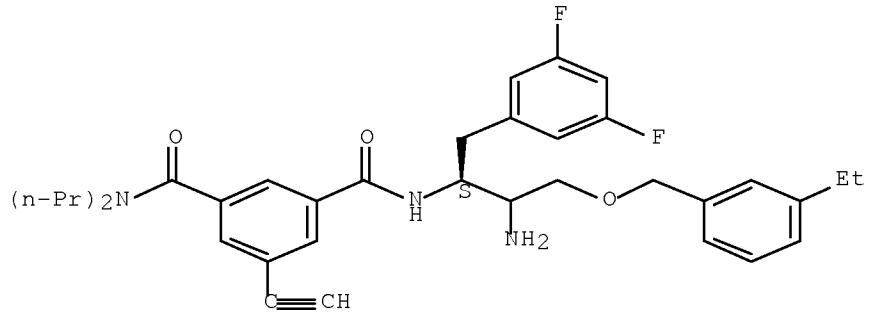
RN 674809-40-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl-5-(2-thiazolyl)- (CA INDEX NAME)

Absolute stereochemistry.



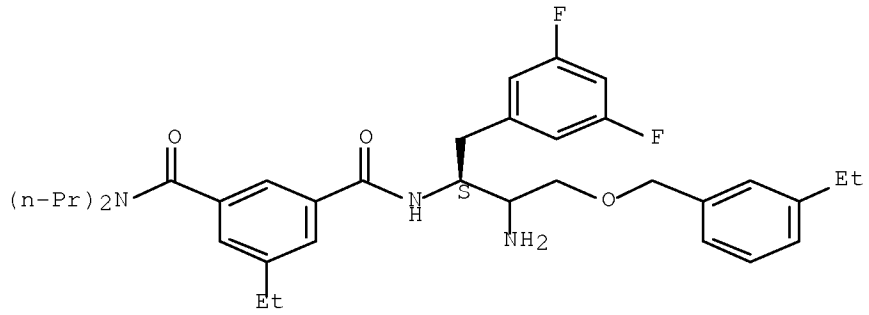
RN 674809-41-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-ethynyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



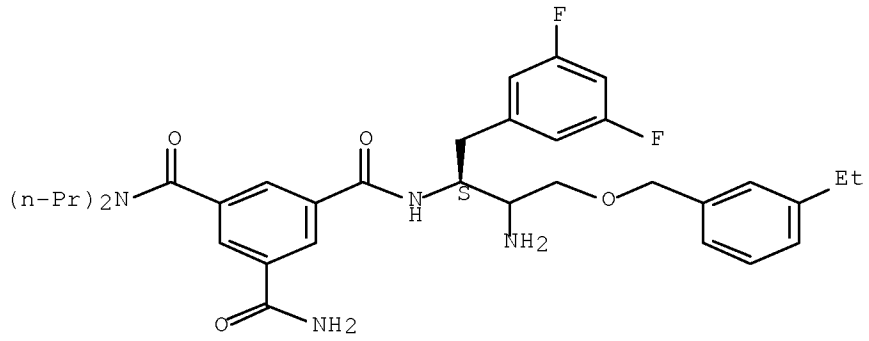
RN 674809-43-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-ethyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



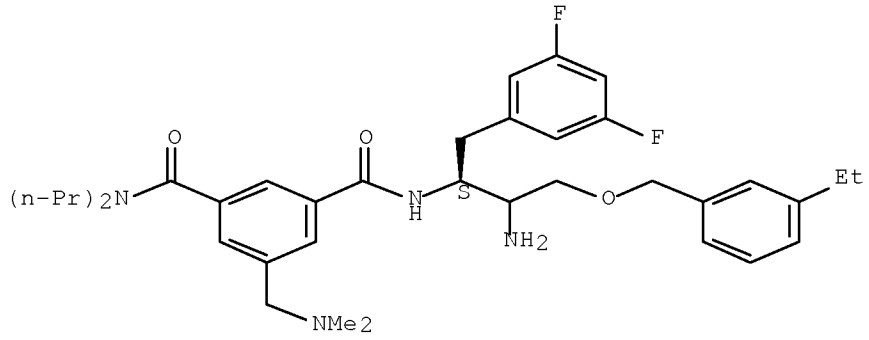
RN 674809-45-1 HCAPLUS
CN 1,3,5-Benzenetricarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



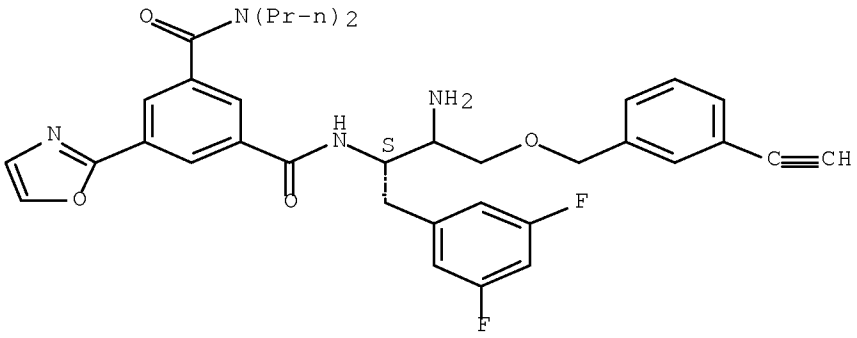
RN 674809-47-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-[(dimethylamino)methyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



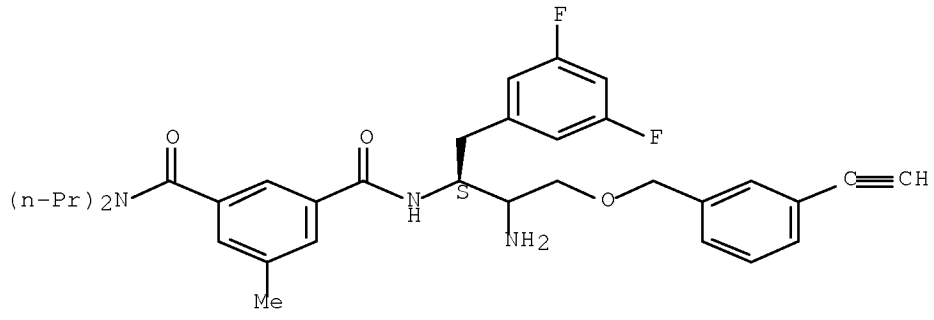
RN 674809-48-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethynylphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-50-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethynylphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

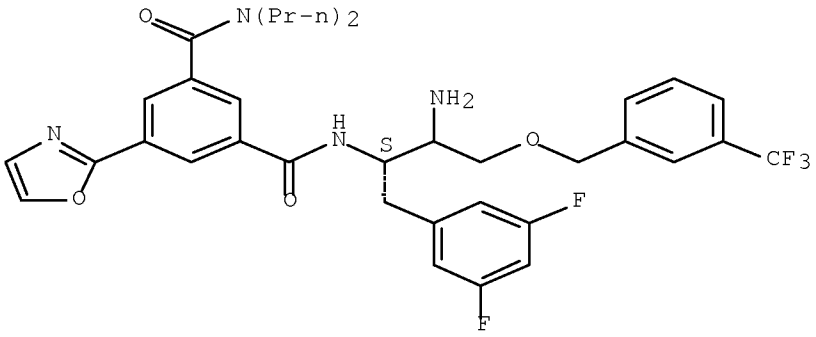
Absolute stereochemistry.



RN 674809-51-9 HCAPLUS

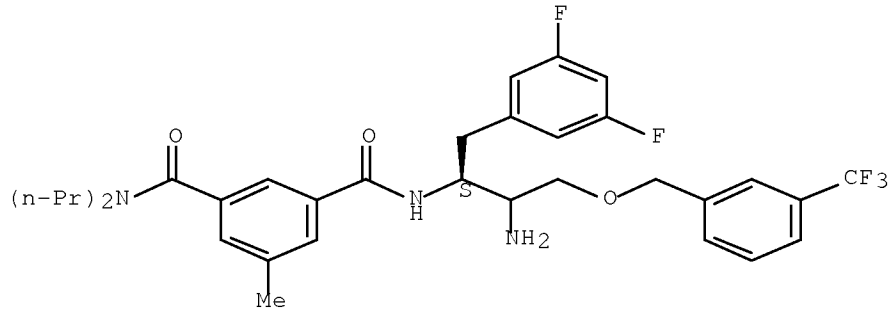
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(trifluoromethyl)phenyl]methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



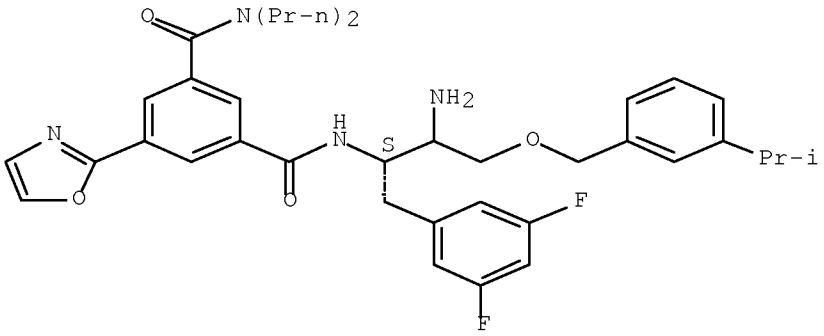
RN 674809-52-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(trifluoromethyl)phenyl]methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



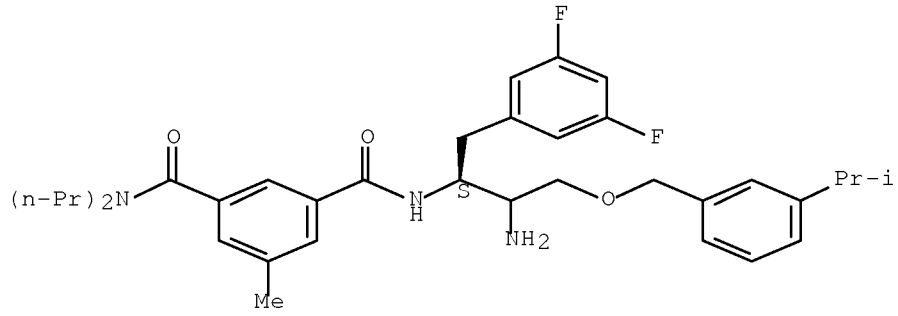
RN 674809-54-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(1-methylethyl)phenyl]methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



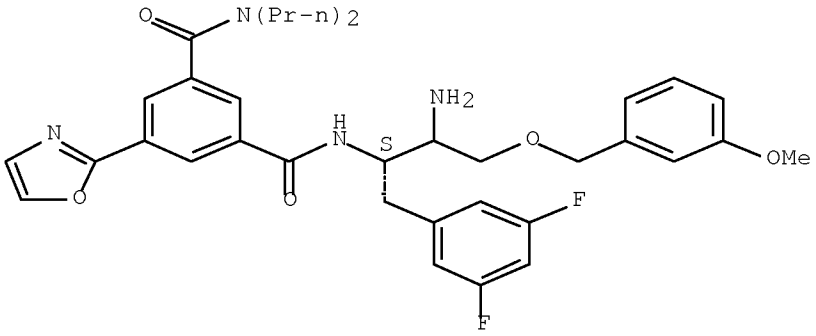
RN 674809-56-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(1-methylethyl)phenyl]methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-58-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-methoxyphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

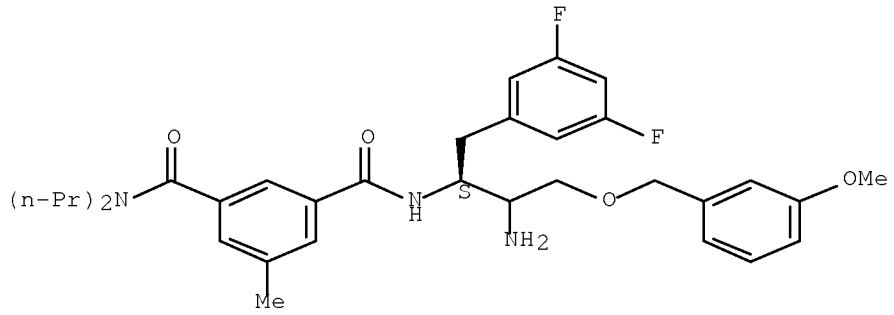
Absolute stereochemistry.



RN 674809-59-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-methoxyphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

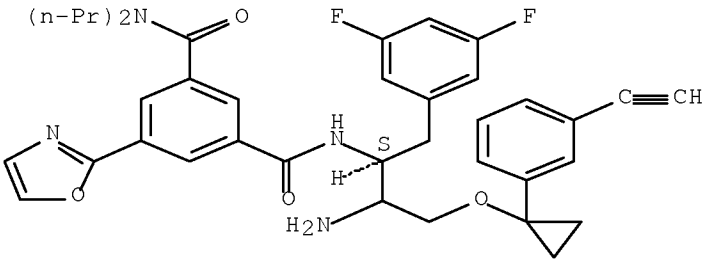
Absolute stereochemistry.



RN 674809-60-0 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

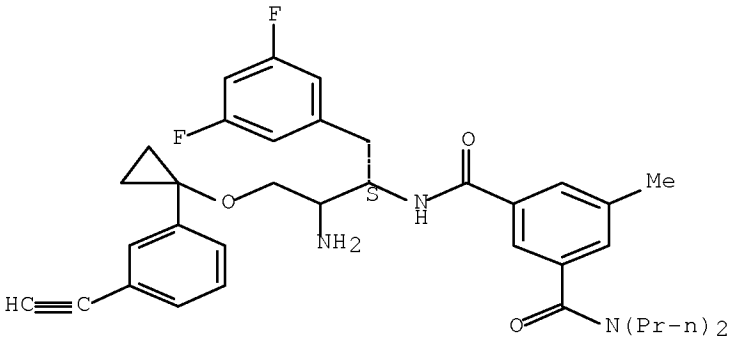
Absolute stereochemistry.



RN 674809-61-1 HCAPLUS

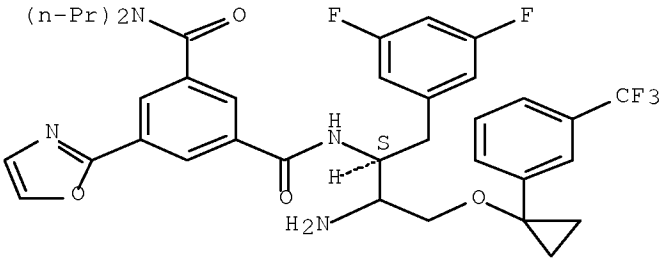
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



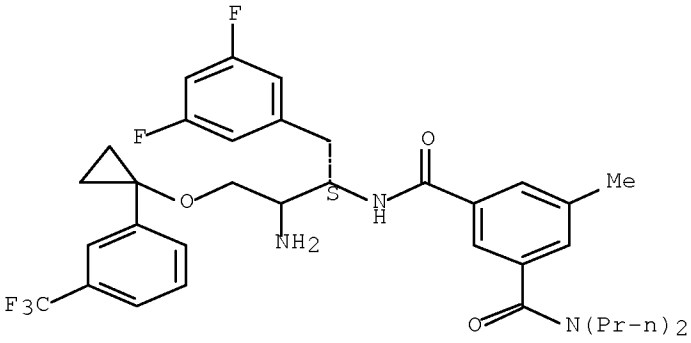
RN 674809-63-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-64-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

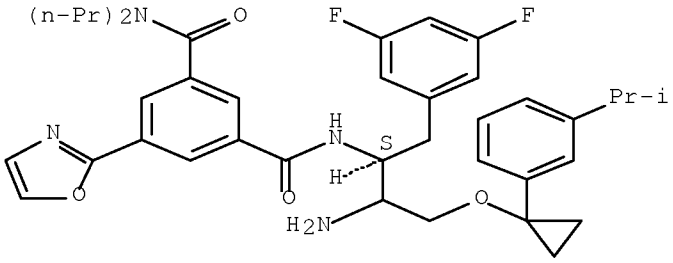
Absolute stereochemistry.



RN 674809-65-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-

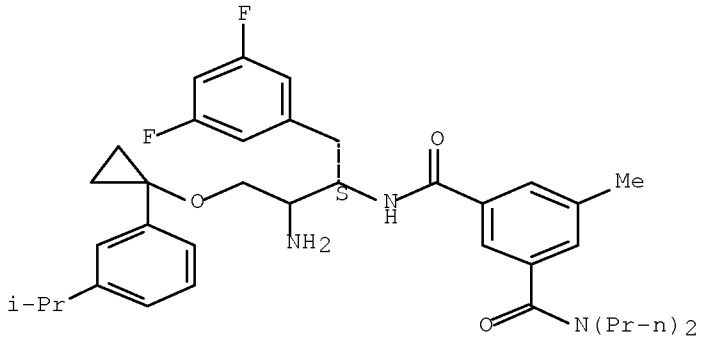
3-[[1-[3-(1-methylethyl)phenyl]cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



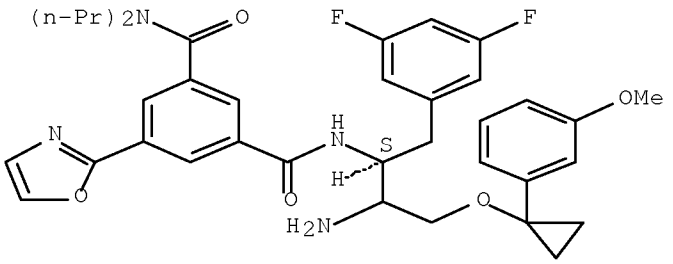
RN 674809-67-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1-methylethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



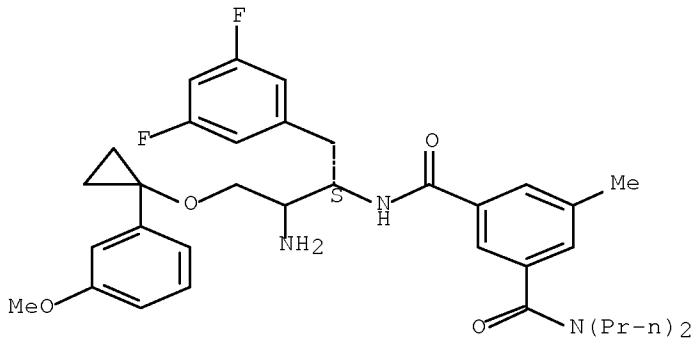
RN 674809-69-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



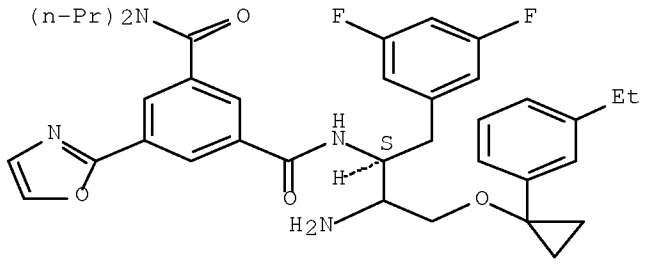
RN 674809-71-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



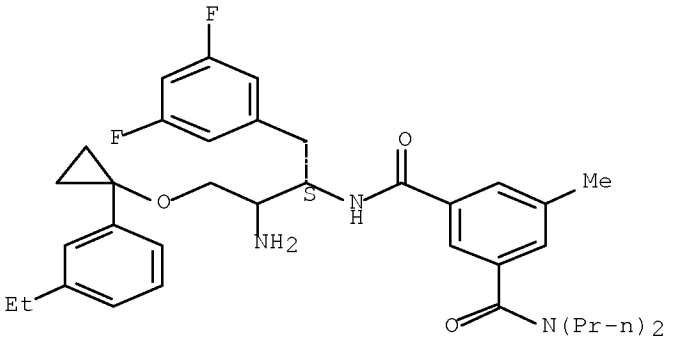
RN 674809-72-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



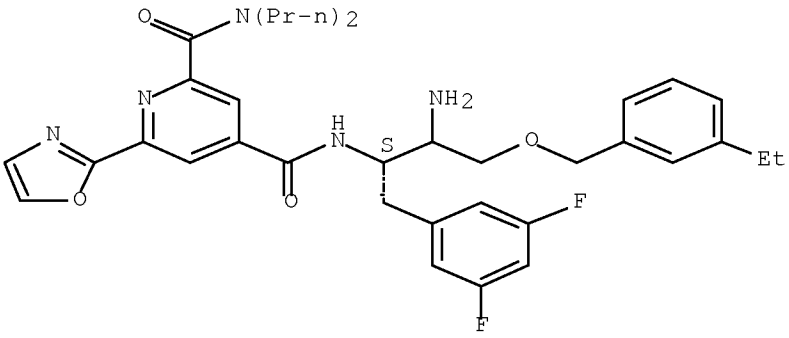
RN 674809-74-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



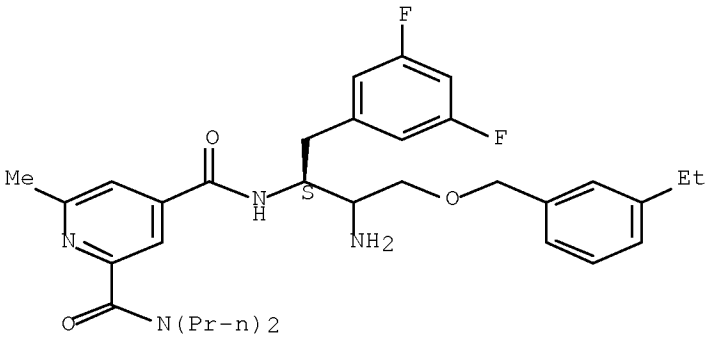
RN 674809-75-7 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N2,N2-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



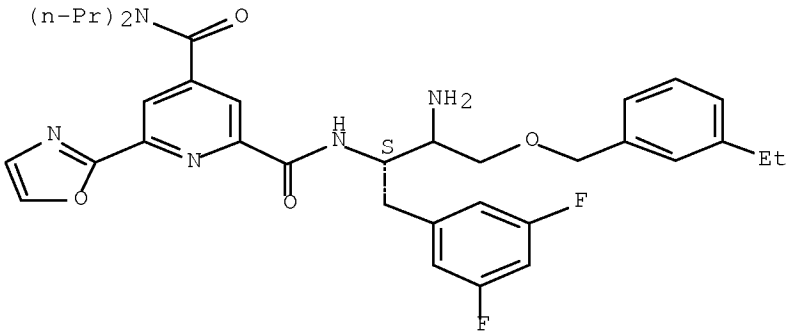
RN 674809-77-9 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N2,N2-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



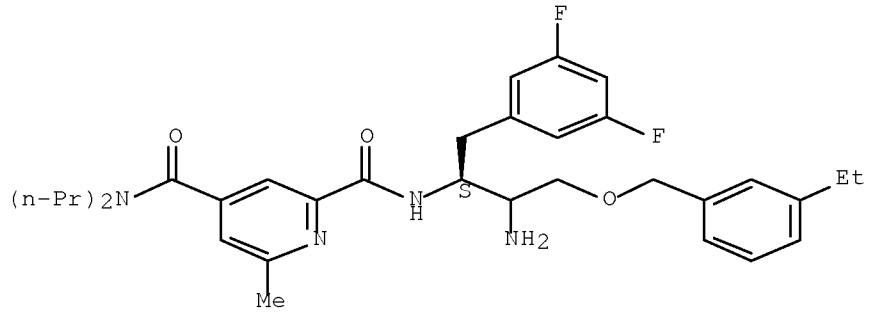
RN 674809-79-1 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N4,N4-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



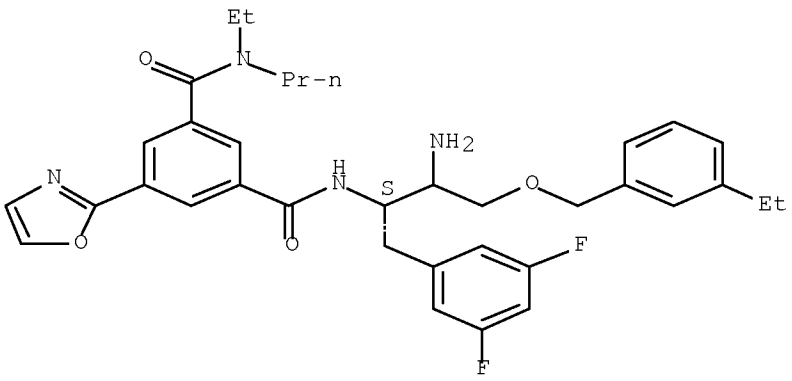
RN 674809-81-5 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N4,N4-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



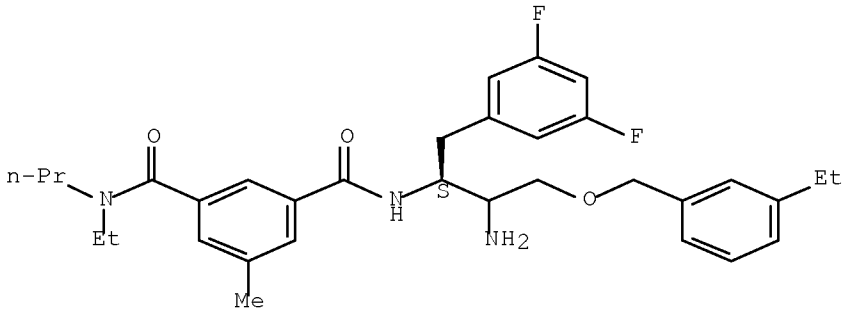
RN 674809-82-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-ethyl-5-(2-oxazolyl)-N1-propyl- (CA INDEX NAME)

Absolute stereochemistry.



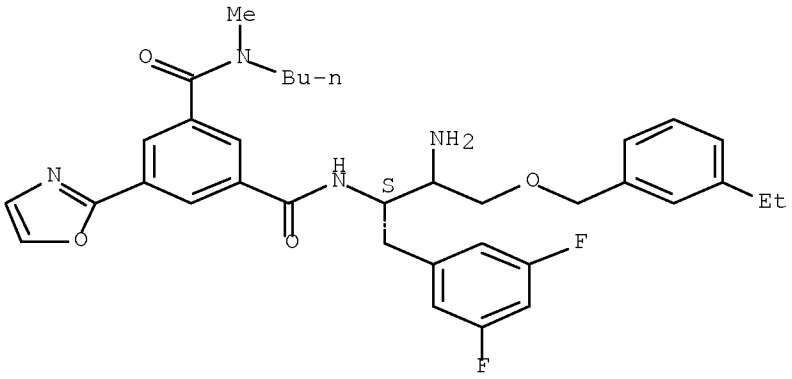
RN 674809-84-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-ethyl-5-methyl-N1-propyl- (CA INDEX NAME)

Absolute stereochemistry.



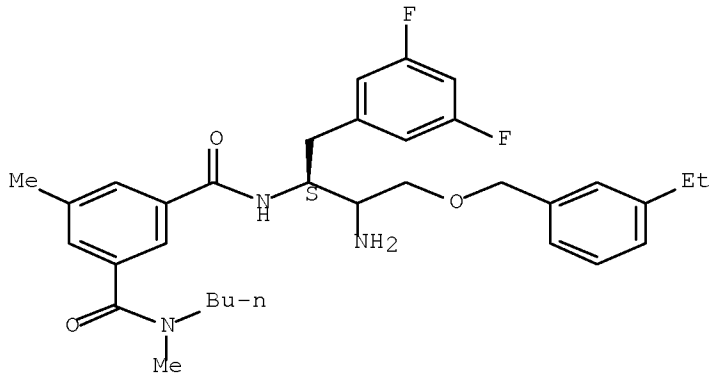
RN 674809-85-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-butyl-N1-methyl-5-(2-oxazolyl)- (CA INDEX NAME)

Absolute stereochemistry.



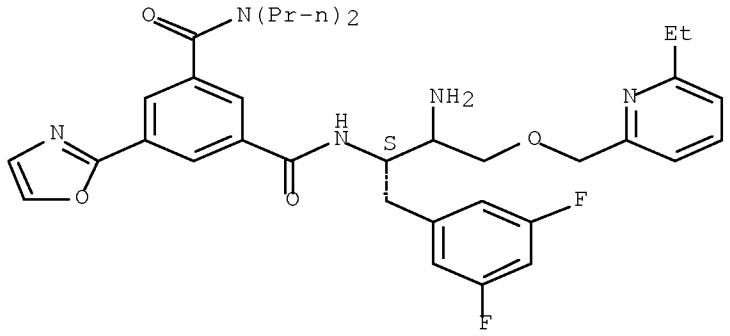
RN 674809-87-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-butyl-N1,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



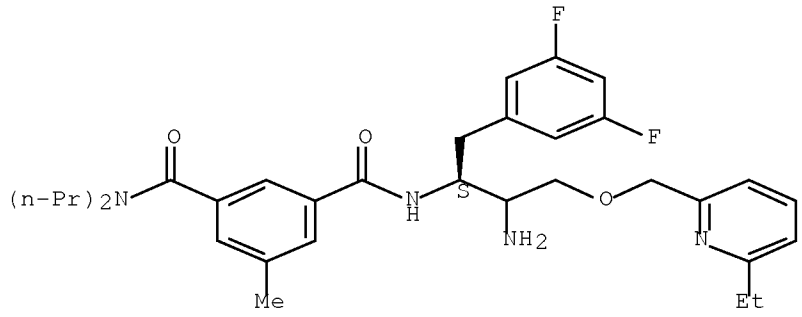
RN 674809-88-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-2-pyridinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



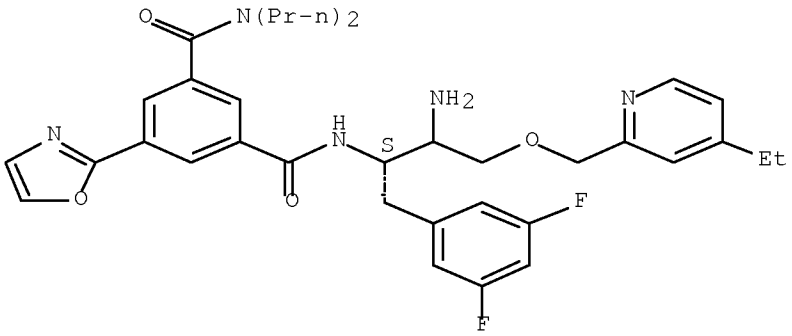
RN 674809-90-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



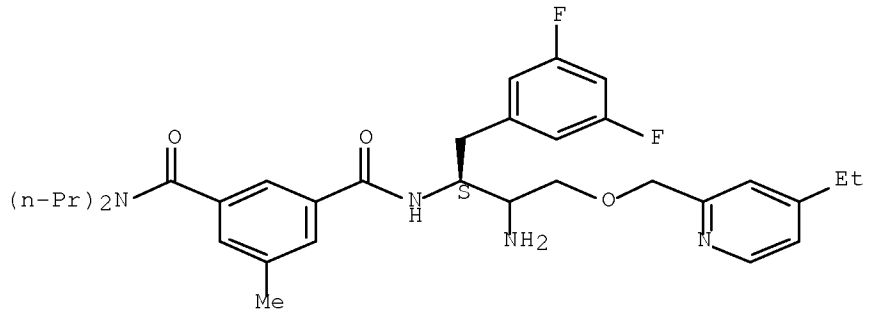
RN 674809-91-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyridinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-93-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

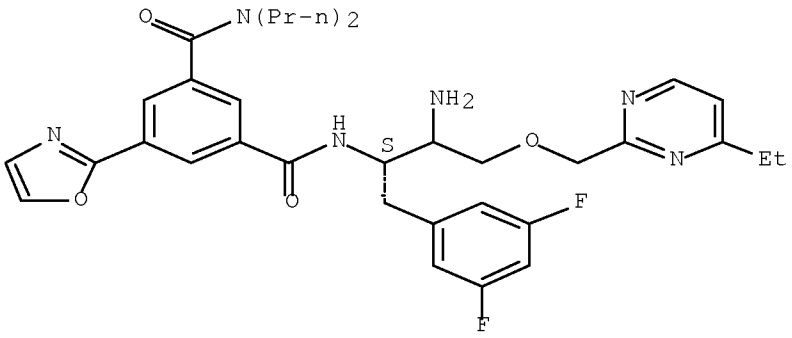
Absolute stereochemistry.



RN 674809-95-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-

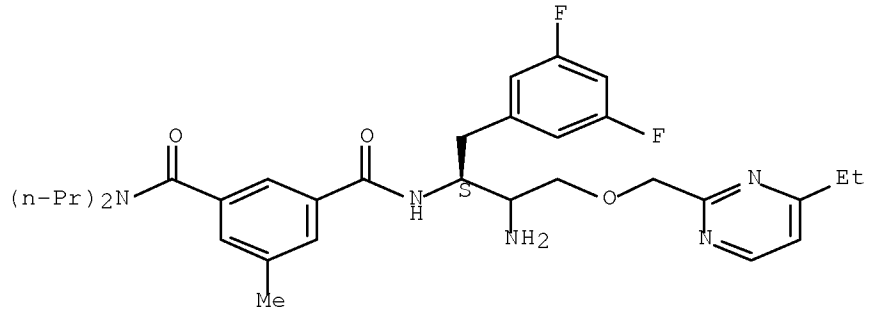
3-[(4-ethyl-2-pyrimidinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



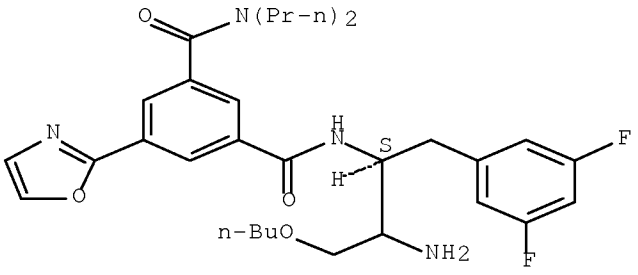
RN 674809-96-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyrimidinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



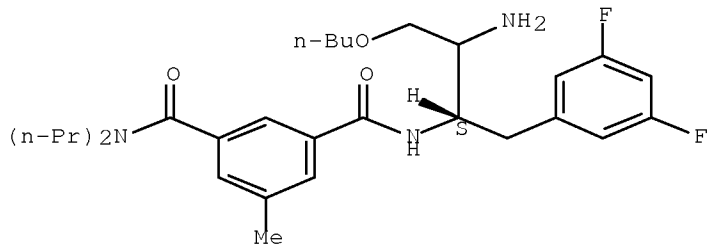
RN 674809-98-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-3-butoxy-1-[(3,5-difluorophenyl)methyl]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



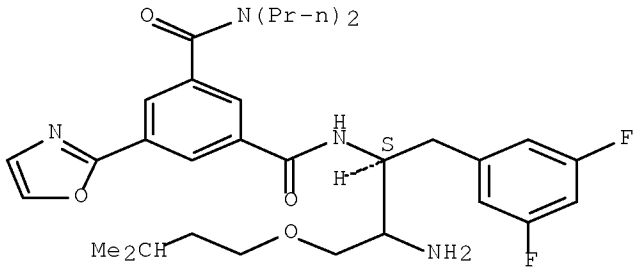
RN 674809-99-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-3-butoxy-1-[(3,5-difluorophenyl)methyl]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



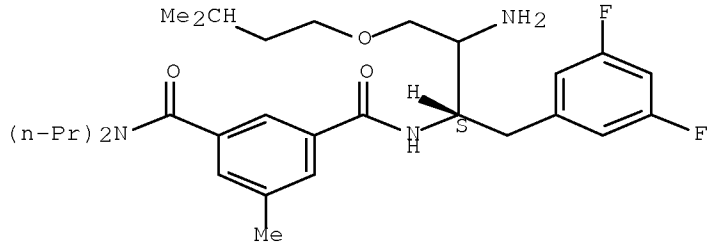
RN 674810-01-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(3-methylbutoxy)propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



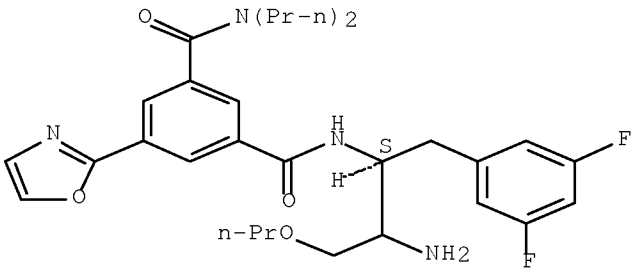
RN 674810-03-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(3-methylbutoxy)propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



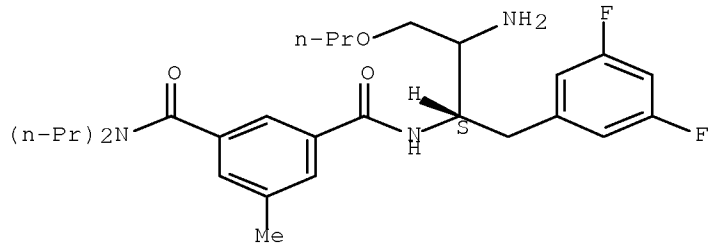
RN 674810-04-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-propoxypropyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



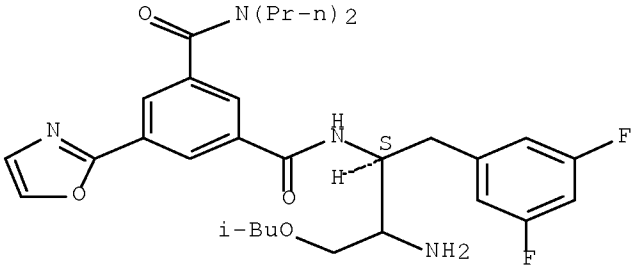
RN 674810-05-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-propoxypropyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



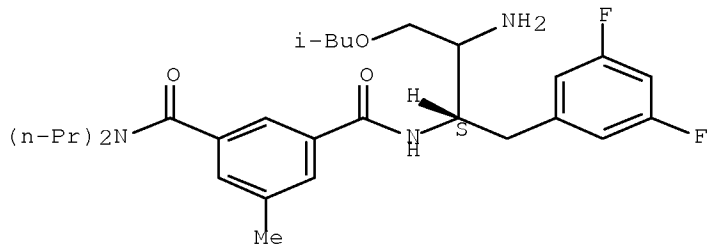
RN 674810-07-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(2-methylpropoxy)propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674810-08-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(2-methylpropoxy)propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:659358 HCAPLUS Full-text
DOCUMENT NUMBER: 131:286264
TITLE: Preparation of phenylsulfonamide derivatives as proteinase and aggrecanase inhibitors
INVENTOR(S): Kimura, Tomio; Miyazaki, Shoujiro; Ueda, Keiji; Tanzawa, Kazuhiko; Ushiyama, Shigeru; Takasaki, Wataru
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 285 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9951572	A1	19991014	WO 1999-JP1751		19990402
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, PT, RU, TR, US, ZA					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2327290	A1	19991014	CA 1999-2327290		19990402
AU 9929615	A	19991025	AU 1999-29615		19990402
AU 756248	B2	20030109			
JP 2000319250	A	20001121	JP 1999-96827		19990402
BR 9909398	A	20001226	BR 1999-9398		19990402
EP 1069110	A1	20010117	EP 1999-910822		19990402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
TR 200002877	T2	20010122	TR 2000-2877		19990402
HU 2001002196	A2	20020629	HU 2001-2196		19990402
HU 2001002196	A3	20021228			
RU 2217418	C2	20031127	RU 2000-124945		19990402
IN 2000KN00352	A	20050311	IN 2000-KN352		20000925
NO 2000004949	A	20001107	NO 2000-4949		20001002
ZA 2000005342	A	20021205	ZA 2000-5342		20001002
US 6673804	B1	20040106	US 2000-678294		20001002
MX 2000009744	A	20010911	MX 2000-9744		20001004
PRIORITY APPLN. INFO.:			JP 1998-91819	A	19980403
			JP 1999-53164	A	19990301
			WO 1999-JP1751	W	19990402

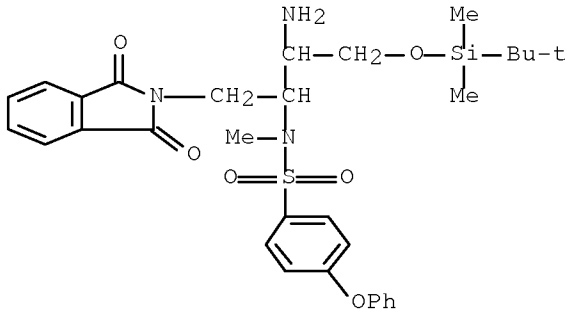
OTHER SOURCE(S): MARPAT 131:286264
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

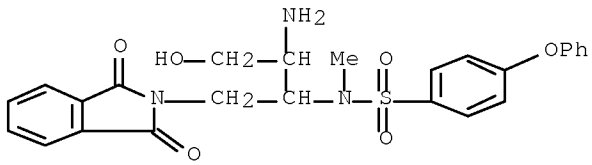
AB Title compds. R5OR4SO2N(R3)CH(R2)COR1 [I; wherein R1 is H or NHOH; R2 is H, optionally substituted alkyl, cycloalkyl, or AR6 (wherein A is O, S(O)m, or alkylene optionally interrupted by N(R9); and R6 is a group represented by Q, Q1, Q2 wherein X is O, S, N(R10), or C(R11)(R12); Y is O, CO, S(O)n, N(R10), or C(R11)(R12); R7 and R8 each is H, alkyl, COOH, optionally substituted alkyl, etc.; R9, R10, R11, and R12 each is H, alkyl, etc.; and m and n each is 0 to 2); R3 is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, or optionally substituted alkynyl; R4 is optionally substituted (hetero)arylene; and R5 is optionally substituted alkyl or optionally substituted (hetero)aryl], stereoisomers, pharmacol. acceptable salts, esters, or other derivs. thereof are prepared and tested as matrix metalloproteinase-13 inhibitors and aggrecanase inhibitors. Thus, the title compound II was prepared

IT 246264-50-6P 246264-51-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylsulfonamides as proteinase and aggrecanase inhibitors)

RN 246264-50-6 HCAPLUS
CN Benzenesulfonamide, N-[2-amino-1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-N-methyl-4-phenoxy- (CA INDEX NAME)



RN 246264-51-7 HCAPLUS
CN Benzenesulfonamide, N-[2-amino-1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-3-hydroxypropyl]-N-methyl-4-phenoxy- (CA INDEX NAME)

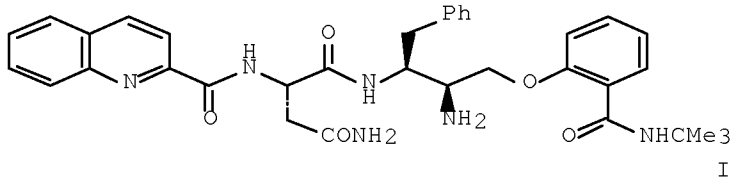


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

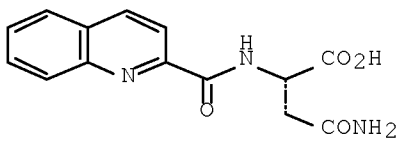
L65 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:805573 HCAPLUS Full-text
DOCUMENT NUMBER: 128:48499
ORIGINAL REFERENCE NO.: 128:9535a,9538a
TITLE: Preparation of asparagine-containing peptides as renin and HIV-1 protease inhibitors
INVENTOR(S): Bennett, Frank; Girijavallabhan, Viyyoor M.; Patel, Naginbhai M.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 140,808, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693815	A	19971202	US 1995-491854	19950714
WO 9417096	A1	19940804	WO 1994-US330	19940114
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9400319	A	19940718	ZA 1994-319	19940117
PRIORITY APPLN. INFO.:			US 1993-6086	B2 19930119
			US 1993-140808	B2 19931021
			WO 1994-US330	W 19940114

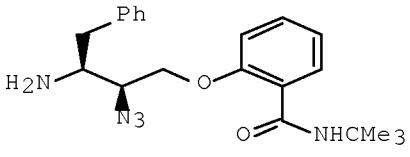
OTHER SOURCE(S): MARPAT 128:48499
GI



I



II



III

AB Title compds. ArWN(Z)CH(Q)CONHCH(R1)CH(U)CH2OL (Ar = naphthyl, biphenyl, quinoxalinyl, cinnolinyl, pyridinyl, anthraquinonyl, (substituted)quinolinyl,

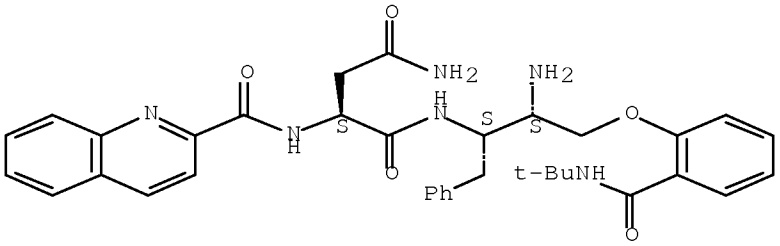
etc.; W = SO2, CO; Z = H; Q = CH2CONH2, CH(Me)Et, etc.; ZQ = (CH2)3, (CH2)4; R1 = Ph, CH2Ph, CH2CH2Ph, CH2C6H11, etc.; U = N3, NH2, NHCOCH3, etc.; L = C6H4COR2, C6H10COR2, etc.; R2 = NHC1-12alkyl, OC1-12alkyl, etc.) and their epimers or racemates thereof, or pharmaceutically acceptable salts were prepared as renin and HIV-1 protease inhibitors. The synthesis of title compound I included the stepwise coupling of Nα-protected amino acid II with amine III in presence of Et3N and coupling reagent BOP in CH2Cl2 to give the intermediate azide and reduction of the azide with H2 and Pd/C. Title compound I inhibited the growth of HIV-1 in tissue culture cell assays with an IC50 value of 1.4 μg/mL.

IT 162240-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)

RN 162240-00-8 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

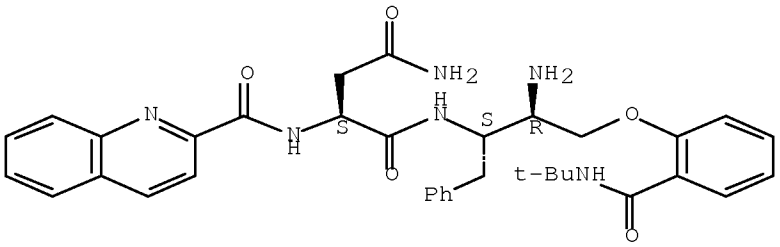


IT 162128-16-7 162128-18-9 162128-20-3
162128-22-5 162128-24-7 162128-26-9
162128-31-6 162128-34-9 199796-16-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)

RN 162128-16-7 HCAPLUS

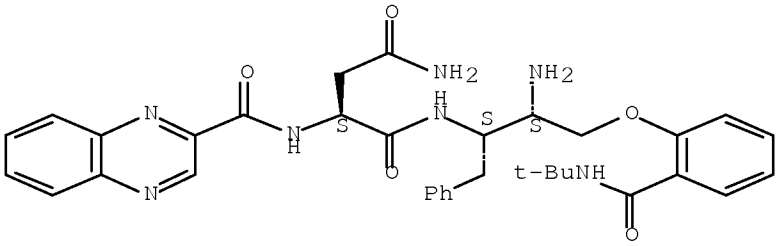
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



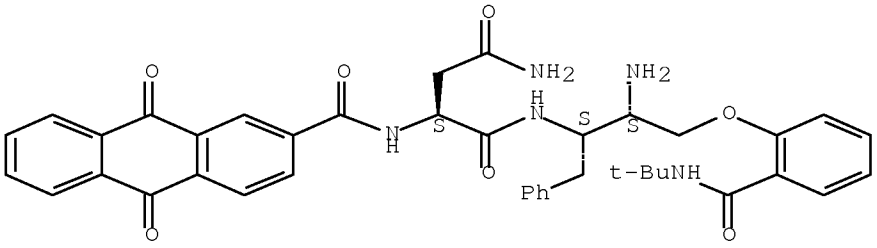
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



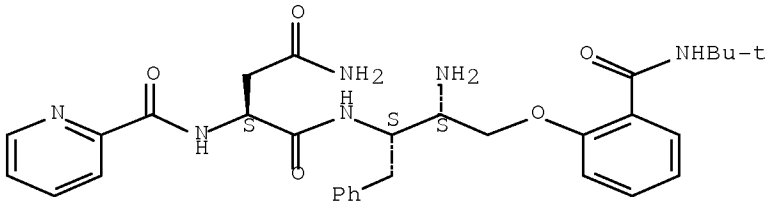
RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



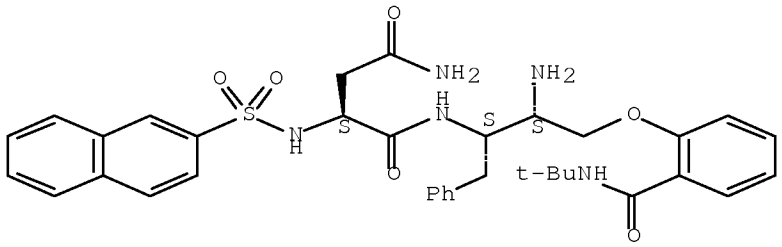
RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



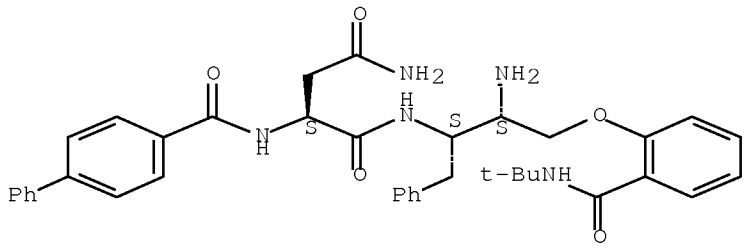
RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



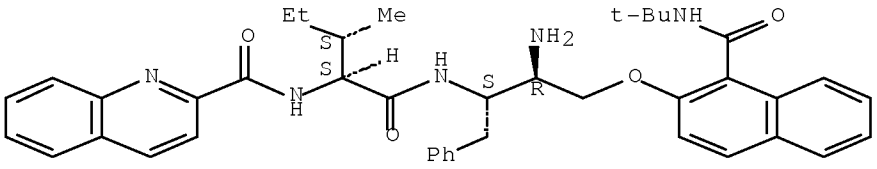
RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



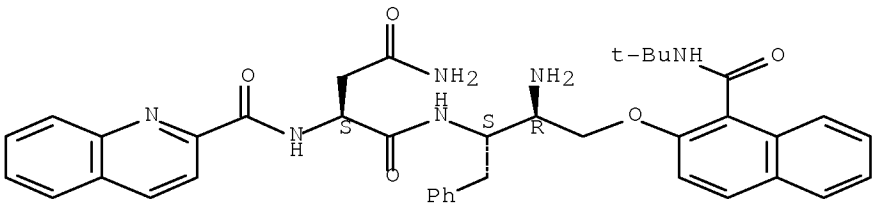
RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



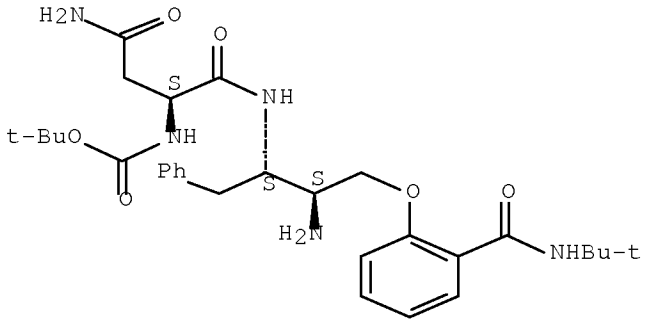
RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



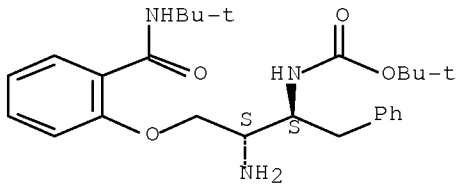
RN 199796-16-2 HCAPLUS
CN Carbamic acid, [3-amino-1-[[[2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 162128-39-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)
RN 162128-39-4 HCAPLUS
CN Carbamic acid, [(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:478086 HCAPLUS Full-text
DOCUMENT NUMBER: 122:240451
ORIGINAL REFERENCE NO.: 122:43969a,43972a
TITLE: Preparation of peptides having anti-HIV activity.
INVENTOR(S): Bennett, Frank; Girijavallabhan, Viyyoor M.; Patel, Naginbhai M.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417096	A1	19940804	WO 1994-US330	19940114
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9461617	A	19940815	AU 1994-61617	19940114
ZA 9400319	A	19940718	ZA 1994-319	19940117
US 5693815	A	19971202	US 1995-491854	19950714
PRIORITY APPLN. INFO.:			US 1993-6086 A2	19930117
			US 1993-140808 A2	19931021
			WO 1994-US330 W	19940114

OTHER SOURCE(S): MARPAT 122:240451
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

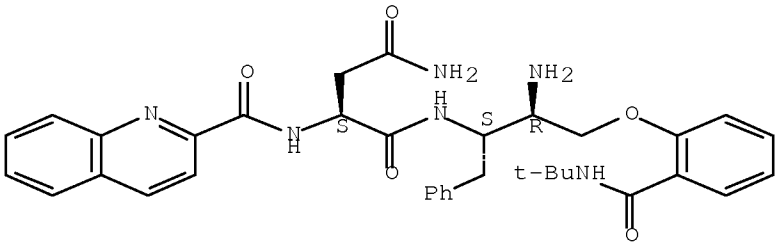
AB Title compds. [I; Ar = Q1-Q4, biphen-4-yl, naphthyl, etc.; R10 = H, OH; W = CO, SO2; Q = α-CH2CONH2, α-CH2CONMe2, α-CH2Ph, α-CH2NHCHO, α-CMe3, α-CH2CH2SMe, Q5, etc.; Z = H; ZQ = (CH2)3, (CH2)4; R1 = β-CH2Ph, β-CH2C6H4OH-p, β-Ph, β-CH2CH2Ph, etc.; U = α-N3, β-N3, α-NH2, β-NH2, α-NHCHO, β-NHCHO, α-SH, β-SH, etc.; L = Q6, Q7, morpholino, piperidinyl, NHCH2CH2OCH2CH2OCH2CH2OMe, etc.], and related compds., were prepared Thus, title compound (II) (prepared

by solution phase methods) inhibited growth of HIV-1 in CEM-SS cells with IC50 = 1.4 μg/mL.

IT 162128-16-7P 162128-18-9P 162128-20-3P
162128-22-5P 162128-24-7P 162128-26-9P
162128-28-1P 162128-31-6P 162128-34-9P
162240-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides having anti-HIV activity)

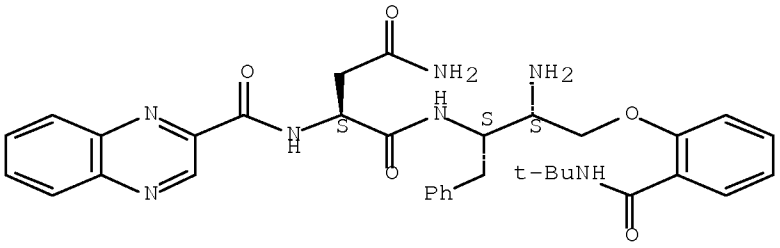
RN 162128-16-7 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



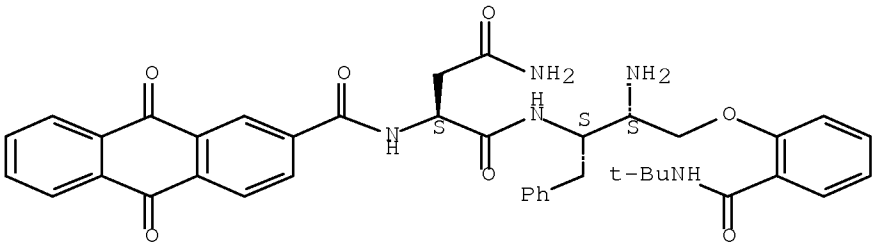
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



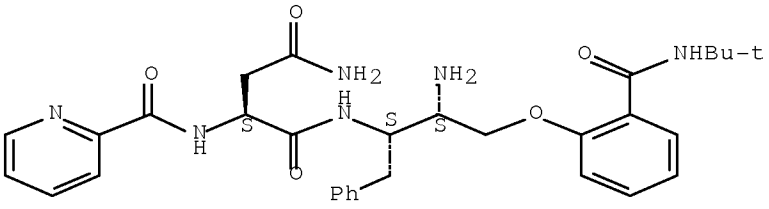
RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



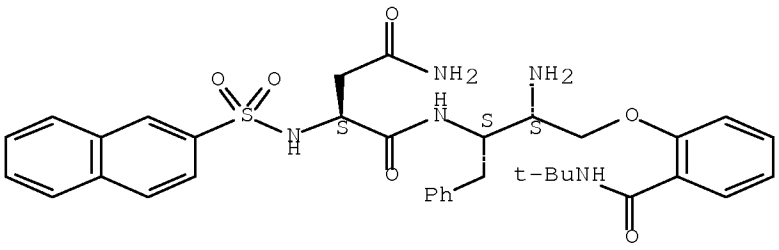
RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



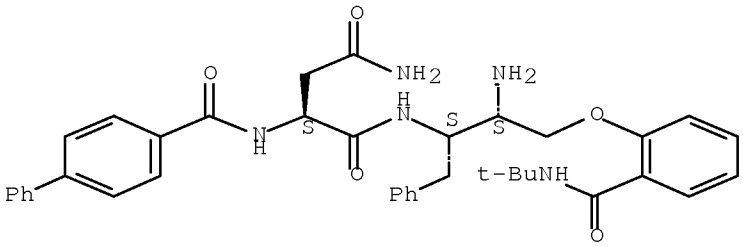
RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



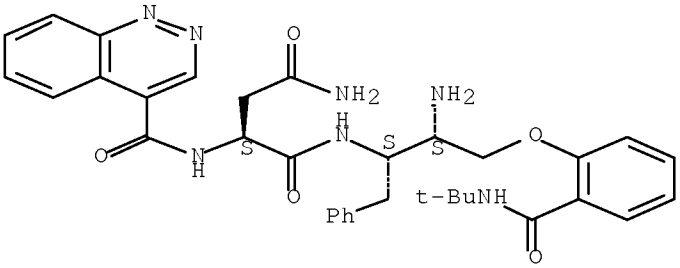
RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



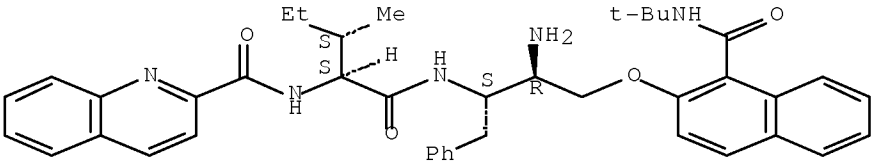
RN 162128-28-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(4-cinnolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



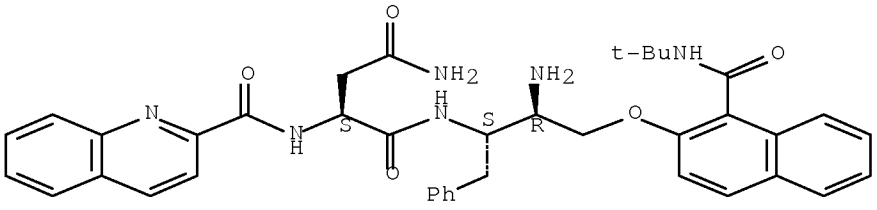
RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



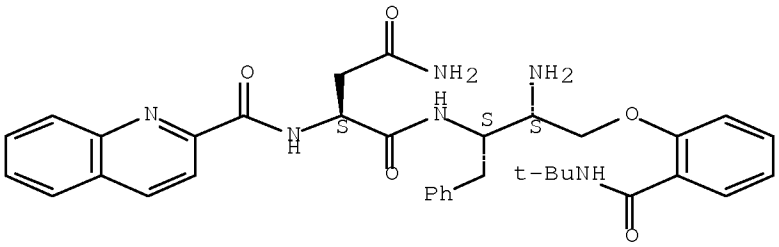
RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



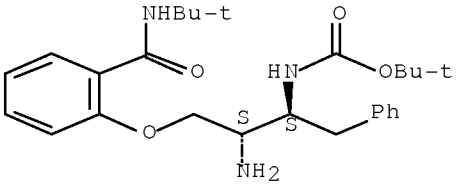
RN 162240-00-8 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 162128-39-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptides having anti-HIV activity)
RN 162128-39-4 HCAPLUS
CN Carbamic acid, [(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

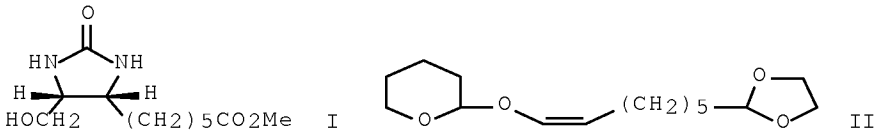
Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:124257 HCAPLUS Full-text
DOCUMENT NUMBER: 118:124257
ORIGINAL REFERENCE NO.: 118:21529a,21532a
TITLE: Biotin biosynthesis: synthesis of the postulated intermediate

AUTHOR(S): Mingotaud, A. F.; Florentin, D.; Marquet, A.
CORPORATE SOURCE: Lab. Chim. Org. Biol., Univ. Paris VI, Paris, 75252, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1992), 129(5), 457-62
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
GI

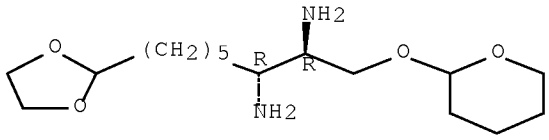


AB The postulated intermediate I in the biosynthesis of biotin was prepared Several methods for constructing the imidazolidine ring were examined I was prepared from the alkene II via epoxidn., conversion of the epoxide to a diazide, and cyclization of the corresponding diamine with triphosgene.

IT 146306-69-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with triphosgene)

RN 146306-69-6 HCAPLUS
CN 2,3-Octanediamine, 8-(1,3-dioxolan-2-yl)-1-[(tetrahydro-2H-pyran-2-yl)oxy]-, (2R*,3R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L65 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:615717 HCAPLUS Full-text
DOCUMENT NUMBER: 89:215717
ORIGINAL REFERENCE NO.: 89:33537a,33540a
TITLE: Cyclic urea derivatives
INVENTOR(S): Matsui, Masanao; Ogawa, Tomoya; Kono, Takashi; Kitamura, Seiichi
PATENT ASSIGNEE(S): Teikoku Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53073562	A	19780630	JP 1976-148920	19761211
JP 60021149	B	19850525		

PRIORITY APPLN. INFO.: JP 1976-148920 A 19761211

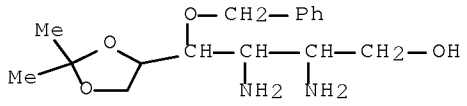
AB Hydrogenation of 2,3-diazido-4-O-benzyl-2,3-dideoxy-5,6-O-isopropylidene-D-allitol over Lindlar catalyst at room temperature gave 2,3-diamino-4-O-benzyl-2,3-dideoxy-5,6-O-isopropylidene-D-allitol (I). To an aqueous mixture of I and Na2CO3 was added 3% COCl2/CCl4 at 0-5° and the whole was stirred 1 h to give 2,3-diamino-4-O-benzyl-2,3-N-carbonyl- 2,3-dideoxy-5,6-O-isopropylidene-D-allitol (II). Ac2O was added to II in pyridine with ice cooling and the mixture was stirred 1 h at room temperature to give 1-O-acetyl derivative, which was stirred with aqueous AcOH at 70° to give 1-O-acetyl-2,3-diamino-4-O-benzyl-2,3-N-carbonyl-2,3-dideoxy-D- allitol.

IT 65023-56-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and phosgenation of)

RN 65023-56-5 HCAPLUS

CN D-Allitol, 2,3-diamino-2,3-dideoxy-5,6-O-(1-methylethylidene)-4-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



L65 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:580013 HCAPLUS Full-text

DOCUMENT NUMBER: 89:180013

ORIGINAL REFERENCE NO.: 89:27963a,27966a

TITLE: d-Biotin methyl ester

INVENTOR(S): Matsui, Masanao; Ogawa, Tomoya; Kono, Takashi; Kitamura, Seiichi

PATENT ASSIGNEE(S): Teikoku Chemical Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

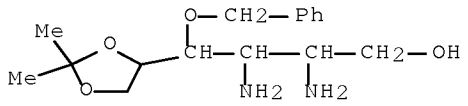
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53073588	A	19780630	JP 1976-148893	19761210
JP 61015074	B	19860422		

PRIORITY APPLN. INFO.: JP 1976-148893 A 19761210

AB In 11 steps 1,6:2,3-dianhydro-4-O-benzyl-β-D-mannopyranose was converted to 5-O-acetyl-3,4-diamino-2-O-benzyl-3,4-N-carbonyl-3,4-dideoxy- D-ribose, which was treated with (3-carbomethoxy-2- propenylidene)triphenylphosphorane, and the product was hydrogenated and then deacetylated to give Me 7,8-diamino-7,8-N-carbonyl-2,3,4,5,7,8- hexadeoxy-L-ribo-nonanoate (I). I was treated with MeSO2Cl and then Na2S to give d-biotin Me ester.

IT 65023-56-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediate, in synthesis of biotin Me ester)
RN 65023-56-5 HCAPLUS
CN D-Allitol, 2,3-diamino-2,3-dideoxy-5,6-O-(1-methylethylidene)-4-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



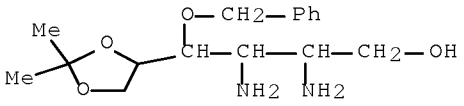
L65 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:563902 HCAPLUS Full-text
DOCUMENT NUMBER: 89:163902
ORIGINAL REFERENCE NO.: 89:25413a,25416a
TITLE: 2,3-Diamino-4-O-benzyl-2,3-dideoxy-5,6-O-isopropylidene-D-allitol
INVENTOR(S): Matsui, Masanao; Ogawa, Tomoya; Kono, Takashi; Kitamura, Seiichi
PATENT ASSIGNEE(S): Teikoku Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53073508	A	19780630	JP 1976-148919	19761211
JP 60041060	B	19850913		

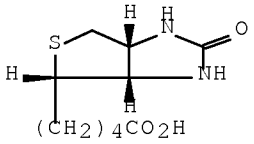
PRIORITY APPLN. INFO.: JP 1976-148919 A 19761211

AB A mixture of 2-azido-4-O-benzyl-2-deoxy-3-O-methanesulfonyl-α(and β)-D-glucose, H3BO3, and NaBH4 in EtOH was stirred at room temperature to give 2-azido-4-O-benzyl-2-deoxy-3-O-methanesulfonyl-D-glucitol, which was stirred with 4-MeC6H4SO3H and dimethoxypropane in DMF 1 h at room temperature to give 2-azido-4-O-benzyl-2-deoxy-5,6-O-isopropylidene-3-O-methanesulfonyl-D-glucitol, which was treated with LiN3 in DMF 5 h at 80° to give 2,3-diazido-4-O-benzyl-2,3-dideoxy-5,6-O-isopropylidene-D-allitol, which was hydrogenated with Linder catalyst in EtOH at room temperature to give the title diaminoallitol.

IT 65023-56-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 65023-56-5 HCAPLUS
CN D-Allitol, 2,3-diamino-2,3-dideoxy-5,6-O-(1-methylethylidene)-4-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



L65 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:22749 HCAPLUS Full-text
DOCUMENT NUMBER: 88:22749
ORIGINAL REFERENCE NO.: 88:3653a
TITLE: A biomimetic synthesis of (+)-biotin from D-glucose
AUTHOR(S): Ogawa, Tomoya; Kawano, Takashi; Matsui, Masanao
CORPORATE SOURCE: Inst. Phys. Chem. Res., Wako, Japan
SOURCE: Carbohydrate Research (1977), 57, C31-C35
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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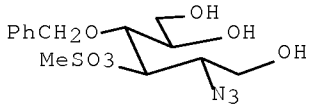
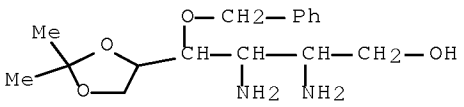


II

AB A total synthesis of (+)-biotin (I) from D-glucose via the key intermediate II was carried out.
IT 65023-56-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with phosgene)
RN 65023-56-5 HCAPLUS
CN D-Allitol, 2,3-diamino-2,3-dideoxy-5,6-O-(1-methylethylidene)-4-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



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